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(54) PYRIMIDINE DERIVATIVES AND THEIR USE AS PESTICIDES

PYRIMIDINDERIVATE UND DEREN VERWENDUNG ALS PESTIZIDE

DERIVES DE PYRIMIDINE ET UTILISATION DE CEUX-CI COMME PESTICIDES

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(56) References cited:
**EP-A- 0 534 341 EP-A- 0 639 571
WO-A-02/24663**

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The file contains technical information submitted after
the application was filed and not included in this
specification

EP 1 483 249 B1

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Description

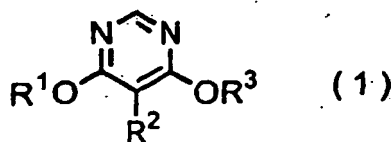
[0001] The present invention relates to pyrimidine compounds and their use.

[0002] Various compounds have been developed so far to control pests and they have been put into practice; for example see WO/0 224 663, EP 0 534 341. Some of these compounds cannot always exhibit satisfactory activity.

[0003] It is an objective of the present invention to provide novel compounds having pesticidal activity.

[0004] The present inventors have intensively studied to find compounds having excellent pesticidal activity, and as a result, they have found that the compounds of formula (1) as depicted below have excellent pesticidal activity, thereby completing the present invention.

[0005] Thus the present invention provides a pyrimidine compound of formula (1):



(hereinafter referred to as the present compound(s))

wherein R¹ is C₃-C₇ alkynyl; R² is hydrogen, halogen, or C₁-C₃ alkyl;

and R³ is C₁-C₈ alkyl that may be substituted with halogen or C₁-C₃ alkoxy, or C₃-C₆ cycloalkyl- (that may be substituted with halogen or C₁-C₃ alkyl) C₁-C₃ alkyl; a pesticidal composition comprising the present compound as an active ingredient; and a method for controlling pests comprising applying the present compound to pests or habitats of pests excluding human beings and animals.

[0006] In the definition of substituents as used herein, each group has the following meaning:

The C₃-C₇ alkynyl represented by R¹ may include, for example, C₃-C₇ alkynyl in which the bond between the carbon atoms at positions 2 and 3 is a triple bond. Specific examples are 2-propynyl, 2-butylnyl, 1-methyl-2-butylnyl, 2-pentylnyl, 1-methyl-2-pentylnyl, 4,4-dimethyl-2-pentylnyl, 1-methyl-2-propynyl, and 1,1-dimethyl-2-propynyl.

The C₁-C₃ alkyl represented by R² may include, for example, methyl and ethyl. The halogen may include, for example, fluorine and chlorine.

[0007] In the C₁-C₈ alkyl that may be substituted with halogen or C₁-C₃ alkoxy, represented by R³, the halogen may include, for example, fluorine, chlorine, and bromine, and the C₁-C₃ alkoxy may include, for example, methoxy, ethoxy, propoxy, and isopropoxy.

[0008] The C₁-C₈ alkyl that may be substituted with halogen or C₁-C₃ alkoxy, represented by R³, may include, for example, C₃-C₈ branched alkyl that may be substituted with halogen or C₁-C₃ alkoxy. Specific examples are as follows:

isopropyl, isobutyl, sec-butyl, 1,2-dimethylpropyl, isopentyl, neopentyl, 1-methylbutyl, 2-methylbutyl, 1-ethylpropyl, 1,2,2-trimethylpropyl, 1,2-dimethylbutyl, 1-ethyl-2-methylpropyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, isohexyl, 2-ethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 1-ethyl-2,2-dimethylpropyl, 1,3,3-trimethylbutyl, 2,3,3-trimethylbutyl, 1-isopropyl-2-methylpropyl, 1-ethyl-3,3-dimethylbutyl, 1,2,3,3-tetramethylbutyl, 1-methyl-2,2-dimethoxyethyl, 1-methyl-2,2,2-trichloroethyl, 1-methyl-2,2,2-trifluoroethyl, 1-methyl-2,2-dichloroethyl, 1-methyl-2,2-difluoroethyl, 2-methyl-2-methoxypropyl, 1-methyl-2-chloroethyl, 1-methyl-2-fluoroethyl, 1-methyl-2-isopropoxyethyl, 1-methyl-2-chloropropyl, 1-methyl-2-fluoropropyl, 1-methyl-2,2-dichloropropyl, 1-methyl-2,2-difluoropropyl, 2-chloro-2-methylpropyl, 2-fluoro-2-methylpropyl, 2-bromo-2-methylpropyl, 3-fluoro-2-methylpropyl, 3-chloro-2-methylpropyl, 2,3-dichloro-2-methylpropyl, 1,2-dimethyl-2-methoxypropyl, 3-bromo-2,2-dimethylpropyl, 3-chloro-2,2-dimethylpropyl, 2,2-dichloro-2-fluoro-1-methylethyl, 3-fluoro-2,2-dimethylpropyl, 2,3-dichloro-1,2-dimethylpropyl, 1,2-dimethyl-2-fluoropropyl, 1,2-dimethyl-2-chloropropyl, 1,2-dimethyl-2-bromopropyl, 3-fluoro-1,2-dimethylpropyl, 3-chloro-1,2-dimethylpropyl, 3,3-difluoro-1,2-dimethylpropyl, 3,3,3-trifluoro-2-trifluoromethyl-2-methylpropyl, 2,2-dichloro-1-isopropylethyl, 2,2,2-trifluoro-1-isopropylethyl, 2,2-difluoro-1-isopropylethyl, 2,2,2-trichloro-1-(t-butyl)ethyl, 2,2-dichloro-1-(t-butyl)ethyl, 3-fluoro-1,3-dimethylbutyl, 3-chloro-1,3-dimethylbutyl, 3-bromo-1,3-dimethylbutyl, 3-fluoro-2,3-dimethylbutyl, 3-chloro-2,3-dimethylbutyl, 3-bromo-2,3-dimethylbutyl, 3-fluoro-1,2,2-trimethylpropyl, 3-chloro-1,2,2-trimethylpropyl, 3-bromo-1,2,2-trimethylpropyl, 2-fluoro-1-ethyl-2-methylpropyl, 2-bromo-1-ethyl-2-methylpropyl, 2-chloro-1-ethyl-2-methylpropyl, 1-trichloromethyl-2-methylpropyl, 2,2,2-trichloro-1-chloromethylethyl, 2,2-dichloro-1-dichloromethylethyl, 1-trichloromethylpropyl, 2,2-dichloro-1-ethylpropyl, 2,2-dichloro-2-fluoroethyl, 2,2-dichloro-1-trifluoromethylethyl, 3,3,3-trichloroethyl, and 3,3,3-trifluoropropyl.

[0009] In the C₃-C₆ cycloalkyl- (that may be substituted with halogen or C₁-C₃ alkyl) C₁-C₃ alkyl, represented by R³, the halogen may include, for example, fluorine, chlorine, and bromine, and the C₁-C₃ alkyl may include, for example, methyl and ethyl.

[0010] The C₃-C₆ cycloalkyl- (that may be substituted with halogen or C₁-C₃ alkyl) C₁-C₃ alkyl represented by R⁹ may include, for example, cyclopropylmethyl, 1-(cyclopropyl)ethyl, 2-(cyclopropyl)ethyl, 1-(1-methylcyclopropyl)ethyl, 2-(1-methylcyclopropyl)ethyl, 1-(2-ethylcyclopropyl)ethyl, 2-(2-ethylcyclopropyl)ethyl, 1-(2-fluorocyclopropyl)ethyl, 2-(2-fluorocyclopropyl)ethyl, 1-(2-chlorocyclopropyl)ethyl, 2-(2-chlorocyclopropyl)ethyl, 1-(1,2-dimethylcyclopropyl)ethyl, 2-(1,2-dimethylcyclopropyl)ethyl, 1-(2,2-dimethylcyclopropyl)ethyl, 2-(2,2-dimethylcyclopropyl)ethyl, 1-(2,2-dichloro-1-methylcyclopropyl)ethyl, 2-(2,2-dichloro-1-methylcyclopropyl)ethyl, 1-(2,2-dichloro-3,3-dimethylcyclopropyl)ethyl, 2-(2,2-dichloro-3,3-dimethylcyclopropyl)ethyl, 1-(cyclobutyl)ethyl, 2-(cyclobutyl)ethyl, 1-(1-methylcyclobutyl)ethyl, 2-(1-methylcyclobutyl)ethyl, 1-(2-methylcyclobutyl)ethyl, 2-(2-methylcyclobutyl)ethyl, 1-(1-chlorocyclobutyl)ethyl, 2-(1-chlorocyclobutyl)ethyl, 1-(2-chlorocyclobutyl)ethyl, 2-(2-chlorocyclobutyl)ethyl, 1-(2,2-difluorocyclobutyl)ethyl, 2-(2,2-difluorocyclobutyl)ethyl, 1-(cyclopentyl)ethyl, 2-(cyclopentyl)ethyl, 1-(1-methylcyclopentyl)ethyl, 2-(1-methylcyclopentyl)ethyl, 1-(2-methylcyclopentyl)ethyl, 2-(2-methylcyclopentyl)ethyl, 1-(2-ethylcyclopentyl)ethyl, 2-(2-ethylcyclopentyl)ethyl, 1-(2-fluorocyclopentyl)ethyl, 2-(2-fluorocyclopentyl)ethyl, 1-(2-chlorocyclopentyl)ethyl, 2-(2-chlorocyclopentyl)ethyl, 1-(2-bromocyclopentyl)ethyl, 2-(2-bromocyclopentyl)ethyl, 1-(1,2-dimethylcyclopentyl)ethyl, 2-(1,2-dimethylcyclopentyl)ethyl, 1-(3-methylcyclopentyl)ethyl, 2-(3-methylcyclopentyl)ethyl, 1-(3-fluorocyclopentyl)ethyl, 2-(3-fluorocyclopentyl)ethyl, 1-(3-chlorocyclopentyl)ethyl, 2-(3-chlorocyclopentyl)ethyl, 1-(cyclohexyl)ethyl, and 2-(cyclohexyl)ethyl.

[0011] The embodiments of the present compounds may include, for example, the following compounds:

the compounds of formula (1) wherein R¹ is C₃-C₇ alkynyl in which the bond between the carbon atoms at positions 2 and 3 is a triple bond;

the compounds of formula (1) wherein R¹ is 2-propynyl, 2-butylnyl, 1-methyl-2-butylnyl, or 2-pentylnyl;

the compounds of formula (1) wherein R² is hydrogen;

the compounds of formula (1) wherein R³ is C₂-C₈ alkyl that may be substituted with halogen;

the compounds of formula (1) wherein R³ is C₃-C₈ branched alkyl that may be substituted with halogen or C₁-C₃ alkoxy; the compounds of formula (1) wherein R³ is C₃-C₆ cycloalkyl- (that may be substituted with halogen or C₁-C₃ alkyl) C₁-C₃ alkyl;

the compounds of formula (1) wherein R¹ is C₃-C₇ alkynyl in which the bond between the carbon atoms at positions 2 and 3 is a triple bond; R² is hydrogen; and R³ is C₃-C₈ alkyl that may be substituted with halogen;

the compounds of formula (1) wherein R¹ is C₃-C₇ alkynyl in which the bond between the carbon atoms at positions 2 and 3 is a triple bond; R² is hydrogen; and R³ is C₃-C₈ branched alkyl that may be substituted with halogen;

the compounds of formula (1) wherein R¹ is C₃-C₇ alkynyl in which the bond between carbon atoms at positions 2 and 3 is a triple bond; R² is hydrogen; R³ is C₃-C₆ cycloalkyl- (that may be substituted with halogen or C₁-C₃ alkyl) C₁-C₃ alkyl;

the compounds of formula (1) wherein R¹ is C₃-C₇ alkynyl in which the bond between carbon atoms at positions 2 and 3 is a triple bond; R² is hydrogen; R³ is methyl substituted with C₃-C₆ cycloalkyl that may be substituted with halogen or C₁-C₃ alkyl;

the compounds of formula (1) wherein R¹ is C₃-C₇ alkynyl in which the bond between carbon atoms at positions 2 and 3 is a triple bond; R² is hydrogen; R³ is ethyl substituted on the carbon atom at position 1 with C₃-C₆ cycloalkyl that may be substituted with halogen or C₁-C₃ alkyl;

the compounds of formula (1) wherein R¹ is C₃-C₇ alkynyl in which the bond between carbon atoms at positions 2 and 3 is a triple bond; R² is hydrogen; R³ is ethyl substituted on the carbon atom at position 2 with C₃-C₆ cycloalkyl that may be substituted with halogen or C₁-C₃ alkyl;

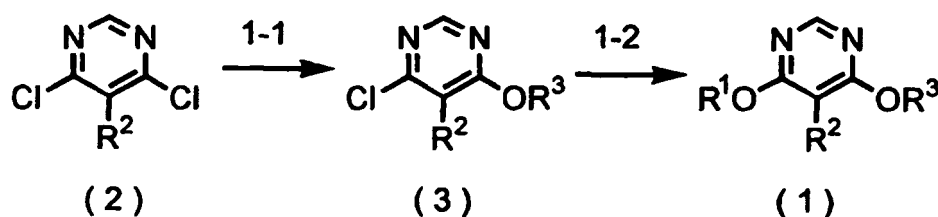
the compounds of formula (1) wherein R¹ is C₃-C₇ alkynyl in which the bond between carbon atoms at positions 2 and 3 is a triple bond; R² is hydrogen; R³ is propyl substituted on the carbon atom at position 1 with C₃-C₆ cycloalkyl that may be substituted with halogen or C₁-C₃ alkyl; and

the compounds of formula (1) wherein R¹ is C₃-C₇ alkynyl in which the bond between carbon atoms at positions 2 and 3 is a triple bond; R² is hydrogen; R³ is propyl substituted on the carbon atom at position 2 with C₃-C₆ cycloalkyl that may be substituted with halogen or C₁-C₃ alkyl.

[0012] The following will describe a production process for the present compound.

(Production Process)

[0013] The present compound of formula (1) can be produced from a 4,6-dichloropyrimidine compound of formula (2) via the following steps:



10 wherein R^1 , R^2 , and R^3 are as defined above.

Step (1-1)

15 **[0014]** The compound of formula (3) can be produced by reacting a 4,6-dichloropyrimidine compound of formula (2) with an alcohol compound of formula (4):



20 wherein R^3 is as defined above.

[0015] The reaction is usually carried out in a solvent in the presence of a base.

[0016] The solvent that can be used in the reaction may include, for example, ethers such as tetrahydrofuran, diethyl ether, and methyl t-butyl ether; acid amides such as N,N-dimethylformamide; sulfoxides such as dimethylsulfoxide; and mixtures thereof.

25 **[0017]** The base that can be used in the reaction may include, for example, inorganic bases such as sodium hydride; alkali metal carbonates such as potassium carbonate and sodium carbonate; and organic lithium compounds such as n-butyl lithium. The amount of the base that can be used in the reaction is usually in the ratio of 1 to 2.5 moles per mole of the 4,6-dichloropyrimidine compound of formula (2).

30 **[0018]** The amount of the alcohol compound of the formula (4) that can be used in the reaction is usually in the ratio of 1 to 1.5 moles per mole of the 4,6-dichloropyrimidine compound of formula (2).

[0019] The reaction temperature is usually in the range of 0°C to 80°C , and the reaction time is usually in the range of 0.1 to 12 hours.

35 **[0020]** After completion of the reaction, the reaction mixture is subjected to ordinary post-treatment procedures such as extraction with an organic solvent, drying the organic layer, and subsequent concentration, to isolate the compound of formula (3). The compound of formula (3) thus isolated can be purified by a technique such as chromatography.

Step (1-2)

40 **[0021]** The present compound of formula (1) can be produced by reacting the compound of formula (3) with an alcohol compound of formula (5):



45 wherein R^1 is as defined above.

[0022] The reaction is usually carried out in a solvent in the presence of a base.

[0023] The solvent that can be used in the reaction may include, for example, ethers such as tetrahydrofuran, diethyl ether, and methyl t-butyl ether; acid amides such as N,N-dimethylformamide; sulfoxides such as dimethylsulfoxide; and mixtures thereof.

50 **[0024]** The base that can be used in the reaction may include, for example, inorganic bases such as sodium hydride. The amount of the base that can be used in the reaction is usually in the ratio of 1 to 2.5 moles per mole of the compound of formula (3).

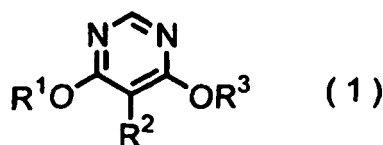
[0025] The amount of the alcohol compound of the formula (5) that can be used in the reaction is usually in the ratio of 1 to 1.5 moles per mole of the compound of formula (3).

[0026] The reaction temperature is usually in the range of 0°C to 80°C , and the reaction time is usually in the range of 0.1 to 12 hours.

55 **[0027]** After completion of the reaction, the reaction mixture is subjected to ordinary post-treatment procedures such as extraction with an organic solvent, drying the organic layer, and subsequent concentration, to isolate the present compound of formula (1). The present compound of formula (1) thus isolated can be purified by a technique such as

chromatography.

[0028] Specific examples of the present compound are listed below:



[0029] The compounds of formula (1) wherein R¹ is 2-propynyl; R² is hydrogen; and R³ is any of the following substituents:

isobutyl, 1,2-dimethylpropyl, isopentyl, neopentyl, 1,2,2-trimethylpropyl, 1-ethyl-2-methylpropyl, 3,3-dimethylbutyl, 1,3-dimethylbutyl, 1-ethyl-2,2-dimethylpropyl, 1-methyl-2,2,2-trichloroethyl, 1-methyl-2,2-dichloroethyl, 1-methyl-2,2-difluoroethyl, 1-methyl-2-chloropropyl, 1-methyl-2,2-dichloropropyl, 1-methyl-2,2-difluoropropyl, 2-chloro-2-methylpropyl, 2-fluoro-2-methylpropyl, 2-bromo-2-methylpropyl, 3-fluoro-2-methylpropyl, 3-chloro-2-methylpropyl, 2,3-dichloro-2-methylpropyl, 3-bromo-2,2-dimethylpropyl, 3-chloro-2,2-dimethylpropyl, 3-fluoro-2,2-dimethylpropyl, 2,3-dichloro-1,2-dimethylpropyl, 1,2-dimethyl-2-fluoroethyl, 1,2-dimethyl-2-chloroethyl, 1,2-dimethyl-2-bromoethyl, 3-fluoro-1,2-dimethylpropyl, 3-chloro-1,2-dimethylpropyl, 3,3-difluoro-1,2-dimethylpropyl, 1-dichloromethyl-2-methylpropyl, 1-dichloromethyl-2-methylpropyl, 2,2-dimethyl-1-trichloromethyl, 2,2-dimethyl-1-dichloromethylpropyl, 3-fluoro-1,2,2-trimethylpropyl, 3-chloro-1,2,2-trimethylpropyl, 2-fluoro-1-ethyl-2-methylpropyl, 2-bromo-1-ethyl-2-methylpropyl, 2-chloro-1-ethyl-2-methylpropyl, 2-methyl-2-methoxypropyl, 1,2-dimethyl-2-methoxypropyl, 2,2-dichloro-2-fluoro-1-methylethyl, or 2-methyl-1-trichloromethylpropyl.

[0030] The compounds of formula (1) wherein R¹ is 2-butyryl; R² is hydrogen; and R³ is any of the following substituents:

isopropyl, isobutyl, sec-butyl, 1,2-dimethylpropyl, isopentyl, neopentyl, isohexyl, 1,2,2-trimethylpropyl, 1,2-dimethylbutyl, 1-ethyl-2-methylpropyl, 2,3-dimethylbutyl, 2,2-dimethylbutyl, 3,3-dimethylbutyl, 1,3-dimethylbutyl, 1-ethyl-2,2-dimethylpropyl, 2,3,3-trimethylbutyl, 1,3,3-trimethylbutyl, 1-ethyl-3,3-dimethylbutyl, 1,2,3,3-tetramethylbutyl, 1-methyl-2,2-dimethoxyethyl, 1-methyl-2,2,2-trichloroethyl, 1-methyl-2,2,2-trifluoromethyl, 1-methyl-2,2-dichloroethyl, 1-methyl-2,2-difluoroethyl, 1-methyl-2-chloroethyl, 1-methyl-2-fluoroethyl, 1-methyl-2-isopropoxyethyl, 1-methyl-2-chloropropyl, 1-methyl-2-fluoropropyl, 1-methyl-2,2-dichloropropyl, 1-methyl-2,2-difluoropropyl, 2-chloro-2-methylpropyl, 2-fluoro-2-methylpropyl, 2-bromo-2-methylpropyl, 3-fluoro-2-methylpropyl, 3-chloro-2-methylpropyl, 2,3-dichloro-2-methylpropyl, 3-bromo-2,2-dimethylpropyl, 3-chloro-2,2-dimethylpropyl, 3-fluoro-2,2-dimethylpropyl, 2,3-dichloro-1,2-dimethylpropyl, 1,2-dimethyl-2-fluoroethyl, 1,2-dimethyl-2-chloroethyl, 1,2-dimethyl-2-bromoethyl, 3-fluoro-1,2-dimethylpropyl, 3-chloro-1,2-dimethylpropyl, 3,3-difluoro-1,2-dimethylpropyl, 3,3,3-trifluoro-2-trifluoromethyl-2-methylpropyl, 1-dichloromethyl-2-methylpropyl, 1-trifluoromethyl-2-methylpropyl, 1-dichloromethyl-2-methylpropyl, 2,2-dimethyl-1-trichloromethylpropyl, 2,2-dimethyl-1-dichloromethylpropyl, 3-fluoro-1,3-dimethylbutyl, 3-chloro-1,3-dimethylbutyl, 3-bromo-1,3-dimethylbutyl, 3-fluoro-2,3-dimethylbutyl, 3-chloro-2,3-dimethylbutyl, 3-bromo-2,3-dimethylbutyl, 3-fluoro-1,2,2-trimethylpropyl, 3-chloro-1,2,2-trimethylpropyl, 3-bromo-1,2,2-trimethylpropyl, 2-fluoro-1-ethyl-2-methylpropyl, 2-bromo-1-ethyl-2-methylpropyl, 2-chloro-1-ethyl-2-methylpropyl, 2-methyl-2-methoxypropyl, 1,2-dimethyl-2-methoxypropyl, 2,2-dichloro-2-fluoro-1-methylethyl, or 2-methyl-1-trichloromethylpropyl.

[0031] The compounds of formula (1) wherein R¹ is 2-butyryl; R² is methyl; and R³ is any of the following substituents:

isobutyl, 1,2-dimethylpropyl, isopentyl, neopentyl, 1,2,2-trimethylpropyl, 1-ethyl-2-methylpropyl, 3,3-dimethylbutyl, 1,3-dimethylbutyl, 1-ethyl-2,2-dimethylpropyl, 1-methyl-2,2,2-trichloroethyl, 1-methyl-2,2-dichloroethyl, 1-methyl-2,2-difluoroethyl, 1-methyl-2-chloropropyl, 1-methyl-2,2-dichloropropyl, 1-methyl-2,2-difluoropropyl, 2-chloro-2-methylpropyl, 2-fluoro-2-methylpropyl, 2-bromo-2-methylpropyl, 3-fluoro-2-methylpropyl, 3-chloro-2-methylpropyl, 2,3-dichloro-2-methylpropyl, 3-bromo-2,2-dimethylpropyl, 3-chloro-2,2-dimethylpropyl, 3-fluoro-2,2-dimethylpropyl, 2,3-dichloro-1,2-dimethylpropyl, 1,2-dimethyl-2-fluoroethyl, 1,2-dimethyl-2-chloroethyl, 1,2-dimethyl-2-bromoethyl, 3-fluoro-1,2-dimethylpropyl, 3-chloro-1,2-dimethylpropyl, 3,3-difluoro-1,2-dimethylpropyl, 1-dichloromethyl-2-methylpropyl, 1-dichloromethyl-2-methylpropyl, 2,2-dimethyl-1-trichloromethyl, 2,2-dimethyl-1-dichloromethylpropyl, 3-fluoro-1,2,2-trimethylpropyl, 3-chloro-1,2,2-trimethylpropyl, 2-fluoro-1-ethyl-2-methylpropyl, 2-bromo-1-ethyl-2-methylpropyl, 2-chloro-1-ethyl-2-methylpropyl, 2-methyl-2-methoxypropyl, 1,2-dimethyl-2-methoxypropyl, 2,2-dichloro-2-fluoro-1-methylethyl, or 2-methyl-1-trichloromethylpropyl.

[0032] The compounds of formula (1) wherein R¹ is 2-butyryl; R² is chlorine; and R³ is any of the following substituents:

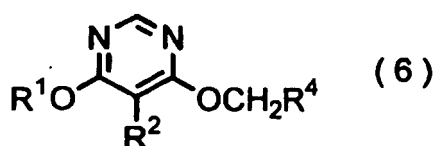
isobutyl, 1,2-dimethylpropyl, isopentyl, neopentyl, 1,2,2-trimethylpropyl, 1-ethyl-2-methylpropyl, 3,3-dimethylbutyl, 1,3-dimethylbutyl, 1-ethyl-2,2-dimethylpropyl, 1-methyl-2,2,2-trichloroethyl, 1-methyl-2,2-dichloroethyl, 1-methyl-2,2-difluoroethyl, 1-methyl-2-chloropropyl, 1-methyl-2,2-dichloropropyl, 1-methyl-2,2-difluoropropyl, 2-chloro-2-methylpropyl, 2-fluoro-2-methylpropyl, 2-bromo-2-methylpropyl, 3-fluoro-2-methylpropyl, 3-chloro-2-methylpropyl, 2,3-dichloro-2-methylpropyl, 3-bromo-2,2-dimethylpropyl, 3-chloro-2,2-dimethylpropyl, 3-fluoro-2,2-dimethylpropyl, 2,3-dichloro-1,2-dimethylpropyl, 1,2-dimethyl-2-fluoroethyl, 1,2-dimethyl-2-chloroethyl, 1,2-dimethyl-2-bromoethyl, 3-fluoro-1,2-dimethylpropyl, 3-chloro-1,2-dimethylpropyl, 3,3-difluoro-1,2-dimethylpropyl, 1-dichloromethyl-2-methylpropyl, 1-dichloromethyl-2-methylpropyl, 2,2-dimethyl-1-trichloromethyl, 2,2-dimethyl-1-dichloromethylpropyl, 3-fluoro-1,2,2-trimethylpropyl, 3-chloro-1,2,2-trimethylpropyl, 2-fluoro-1-ethyl-2-methylpropyl, 2-bromo-1-ethyl-2-methylpropyl, 2-chloro-1-ethyl-2-methylpropyl, 2-methyl-2-methoxypropyl, 1,2-dimethyl-2-methoxypropyl, 2,2-dichloro-2-fluoro-1-methylethyl, or 2-methyl-1-trichloromethylpropyl.

[0033] The compounds of formula (1) wherein R¹ is 2-pentyryl; R² is hydrogen; and R³ is any of the following substituents:

isopropyl, isobutyl, sec-butyl, 1,2-dimethylpropyl, isopentyl, neopentyl, isohexyl, 1,2,2-trimethylpropyl, 1,2-dimethylbutyl, 1-ethyl-2-methylpropyl, 2,3-dimethylbutyl, 2,2-dimethylbutyl, 3,3-dimethylbutyl, 1,3-dimethylbutyl, 1-ethyl-2,2-dimethylpropyl, 2,3,3-trimethylbutyl, 1,3,3-trimethylbutyl, 1-ethyl-3,3-dimethylbutyl, 1,2,3,3-tetramethylbutyl, 1-methyl-2,2-dimethoxyethyl, 1-methyl-2,2,2-trichloroethyl, 1-methyl-2,2,2-trifluoroethyl, 1-methyl-2,2-dichloroethyl, 1-methyl-2,2-difluoroethyl, 1-methyl-2-chloropropyl, 1-methyl-2-fluoropropyl, 1-methyl-2,2-dichloropropyl, 1-methyl-2,2-difluoropropyl, 2-chloro-2-methylpropyl, 2-fluoro-2-methylpropyl, 2-bromo-2-methylpropyl, 3-fluoro-2-methylpropyl, 3-chloro-2-methylpropyl, 2,3-dichloro-2-methylpropyl, 3-bromo-2,2-dimethylpropyl, 3-chloro-2,2-dimethylpropyl, 3-fluoro-2,2-dimethylpropyl, 2,3-dichloro-1,2-dimethylpropyl, 1,2-dimethyl-2-fluoroethyl, 1,2-dimethyl-2-chloroethyl, 1,2-dimethyl-2-bromoethyl, 3-fluoro-1,2-dimethylpropyl, 3-chloro-1,2-dimethylpropyl, 3,3-difluoro-1,2-dimethylpropyl, 3,3,3-trifluoro-2-trifluoromethyl-2-methylpropyl, 1-dichloromethyl-2-methylpropyl, 1-trifluoromethyl-2-methylpropyl, 1-dichloromethyl-2-methylpropyl, 2,2-dimethyl-1-trichloromethylpropyl, 2,2-dimethyl-1-dichloromethylpropyl, 3-fluoro-1,3-dimethylbutyl, 3-chloro-1,3-dimethylbutyl, 3-bromo-1,3-dimethylbutyl, 3-fluoro-2,3-dimethylbutyl, 3-chloro-2,3-dimethylbutyl, 3-bromo-2,3-dimethylbutyl, 3-fluoro-1,2,2-trimethylpropyl, 3-chloro-1,2,2-trimethylpropyl, 3-bromo-1,2,2-trimethylpropyl, 2-fluoro-1-ethyl-2-methylpropyl, 2-bromo-1-ethyl-2-methylpropyl, 2-chloro-1-ethyl-2-methylpropyl, 2-methyl-2-methoxypropyl, 1,2-dimethyl-2-methoxypropyl, 2,2-dichloro-2-fluoro-1-methylethyl, or 2-methyl-1-trichloromethylpropyl.

[0034] The compounds of formula (1) wherein R¹ is 1-methyl-2-butyryl; R² is hydrogen; and R³ is any of the following substituents:

isobutyl, 1,2-dimethylpropyl, isopentyl, neopentyl, 1,2,2-trimethylpropyl, 1-ethyl-2-methylpropyl, 3,3-dimethylbutyl, 1,3-dimethylbutyl, 1-ethyl-2,2-dimethylpropyl, 1-methyl-2,2,2-trichloroethyl, 1-methyl-2,2-dichloroethyl, 1-methyl-2,2-difluoroethyl, 1-methyl-2-chloropropyl, 1-methyl-2,2-dichloropropyl, 1-methyl-2,2-difluoropropyl, 2-chloro-2-methylpropyl, 2-fluoro-2-methylpropyl, 2-bromo-2-methylpropyl, 3-fluoro-2-methylpropyl, 3-chloro-2-methylpropyl, 2,3-dichloro-2-methylpropyl, 3-bromo-2,2-dimethylpropyl, 3-chloro-2,2-dimethylpropyl, 3-fluoro-2,2-dimethylpropyl, 2,3-dichloro-1,2-dimethylpropyl, 1,2-dimethyl-2-fluoroethyl, 1,2-dimethyl-2-chloroethyl, 1,2-dimethyl-2-bromoethyl, 3-fluoro-1,2-dimethylpropyl, 3-chloro-1,2-dimethylpropyl, 3,3-difluoro-1,2-dimethylpropyl, 1-dichloromethyl-2-methylpropyl, 1-dichloromethyl-2-methylpropyl, 2,2-dimethyl-1-trichloromethyl, 2,2-dimethyl-1-dichloromethylpropyl, 3-fluoro-1,2,2-trimethylpropyl, 3-chloro-1,2,2-trimethylpropyl, 2-fluoro-1-ethyl-2-methylpropyl, 2-bromo-1-ethyl-2-methylpropyl, 2-chloro-1-ethyl-2-methylpropyl, 2-methyl-2-methoxypropyl, 1,2-dimethyl-2-methoxypropyl, 2,2-dichloro-2-fluoro-1-methylethyl, or 2-methyl-1-trichloromethylpropyl.

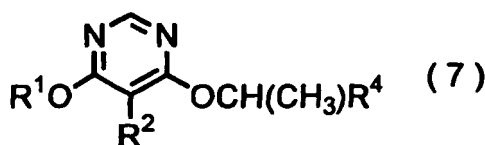


[0035] The compounds of formula (6) wherein R¹ is 2-propynyl; R² is hydrogen; and R⁴ is any of the following substituents:

cyclopropyl, 1-methylcyclopropyl, 2-methylcyclopropyl, 2-fluorocyclopropyl, 2-chlorocyclopropyl, 1,2-dimethylcyclopropyl, 2,2-dimethylcyclopropyl, 2,2-dichloro-1-methylcyclopropyl, 2,2-dichloro-3,3-dimethylcyclopropyl, cyclobutyl, 1-methylcyclobutyl, 2-methylcyclobutyl, 1-chlorocyclobutyl, 2-chlorocyclobutyl, cyclopentyl, 1-methylcyclopentyl, 2-methylcyclopentyl, 2-chlorocyclopentyl, cyclohexyl, 2,2-difluorocyclobutyl, or 1,2-dimethylcyclopentyl.

[0036] The compounds of formula (6) wherein R¹ is 2-butylnyl; R² is hydrogen; and R⁴ is any of the following substituents:

cyclopropyl, 1-methylcyclopropyl, 2-methylcyclopropyl, 2-fluorocyclopropyl, 2-chlorocyclopropyl, 1,2-dimethylcyclopropyl, 2,2-dimethylcyclopropyl, 2,2-dichloro-1-methylcyclopropyl, 2,2-dichloro-3,3-dimethylcyclopropyl, cyclobutyl, 1-methylcyclobutyl, 2-methylcyclobutyl, 1-chlorocyclobutyl, 2-chlorocyclobutyl, cyclopentyl, 1-methylcyclopentyl, 2-methylcyclopentyl, 2-chlorocyclopentyl, cyclohexyl, 2,2-difluorocyclobutyl, or 1,2-dimethylcyclopentyl.



[0037] The compounds of formula (7) wherein R¹ is 2-propynyl; R² is hydrogen; and R⁴ is any of the following substituents:

cyclopropyl, 1-methylcyclopropyl, 2-methylcyclopropyl, 2-fluorocyclopropyl, 2-chlorocyclopropyl, 1,2-dimethylcyclopropyl, 2,2-dimethylcyclopropyl, 2,2-dichloro-1-methylcyclopropyl, 2,2-dichloro-3,3-dimethylcyclopropyl, cyclobutyl, 1-methylcyclobutyl, 2-methylcyclobutyl, 1-chlorocyclobutyl, 2-chlorocyclobutyl, cyclopentyl, 1-methylcyclopentyl, 2-methylcyclopentyl, 2-chlorocyclopentyl, cyclohexyl, 2,2-difluorocyclobutyl, or 1,2-dimethylcyclopentyl.

[0038] The compounds of formula (7) wherein R¹ is 2-butylnyl; R² is hydrogen; and R⁴ is any of the following substituents:

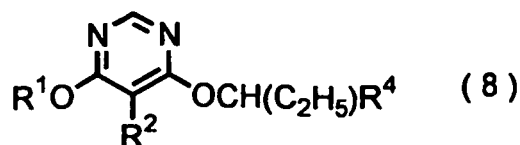
cyclopropyl, 1-methylcyclopropyl, 2-methylcyclopropyl, 2-fluorocyclopropyl, 2-chlorocyclopropyl, 1,2-dimethylcyclopropyl, 2,2-dimethylcyclopropyl, 2,2-dichloro-1-methylcyclopropyl, 2,2-dichloro-3,3-dimethylcyclopropyl, cyclobutyl, 1-methylcyclobutyl, 2-methylcyclobutyl, 1-chlorocyclobutyl, 2-chlorocyclobutyl, cyclopentyl, 1-methylcyclopentyl, 2-methylcyclopentyl, 2-chlorocyclopentyl, cyclohexyl, 2,2-difluorocyclobutyl, or 1,2-dimethylcyclopentyl.

[0039] The compounds of formula (7) wherein R¹ is 2-pentylnyl; R² is hydrogen; and R⁴ is any of the following substituents:

cyclopropyl, 1-methylcyclopropyl, 2-methylcyclopropyl, 2-fluorocyclopropyl, 2-chlorocyclopropyl, 1,2-dimethylcyclopropyl, 2,2-dimethylcyclopropyl, 2,2-dichloro-1-methylcyclopropyl, 2,2-dichloro-3,3-dimethylcyclopropyl, cyclobutyl, 1-methylcyclobutyl, 2-methylcyclobutyl, 1-chlorocyclobutyl, 2-chlorocyclobutyl, cyclopentyl, 1-methylcyclopentyl, 2-methylcyclopentyl, 2-chlorocyclopentyl, cyclohexyl, 2,2-difluorocyclobutyl, or 1,2-dimethylcyclopentyl.

[0040] The compounds of formula (7) wherein R¹ is 1-methyl-2-butylnyl; R² is hydrogen; and R⁴ is any of the following substituents:

cyclopropyl, 1-methylcyclopropyl, 2-methylcyclopropyl, 2-fluorocyclopropyl, 2-chlorocyclopropyl, 1,2-dimethylcyclopropyl, 2,2-dimethylcyclopropyl, 2,2-dichloro-1-methylcyclopropyl, 2,2-dichloro-3,3-dimethylcyclopropyl, cyclobutyl, 1-methylcyclobutyl, 2-methylcyclobutyl, 1-chlorocyclobutyl, 2-chlorocyclobutyl, cyclopentyl, 1-methylcyclopentyl, 2-methylcyclopentyl, 2-chlorocyclopentyl, cyclohexyl, 2,2-difluorocyclobutyl, or 1,2-dimethylcyclopentyl.



[0041] The compounds of formula (8) wherein R¹ is 2-propynyl; R² is hydrogen; and R⁴ is any of the following substituents:

cyclopropyl, 1-methylcyclopropyl, 2-methylcyclopropyl, 2-fluorocyclopropyl, 2-chlorocyclopropyl, 1,2-dimethylcyclopropyl, 2,2-dimethylcyclopropyl, 2,2-dichloro-1-methylcyclopropyl, 2,2-dichloro-3,3-dimethylcyclopropyl, cyclobutyl, 1-methylcyclobutyl, 2-methylcyclobutyl, 1-chlorocyclobutyl, 2-chlorocyclobutyl, cyclopentyl, 1-methylcyclopentyl, 2-methylcyclopentyl, 2-chlorocyclopentyl, cyclohexyl, 2,2-difluorocyclobutyl, 1,2-dimethylcyclopentyl.

[0042] The compounds of formula (8) wherein R¹ is 2-butyryl; R² is hydrogen; and R⁴ is any of the following substituents:

cyclopropyl, 1-methylcyclopropyl, 2-methylcyclopropyl, 2-fluorocyclopropyl, 2-chlorocyclopropyl, 1,2-dimethylcyclopropyl, 2,2-dimethylcyclopropyl, 2,2-dichloro-1-methylcyclopropyl, 2,2-dichloro-3,3-dimethylcyclopropyl, cyclobutyl, 1-methylcyclobutyl, 2-methylcyclobutyl, 1-chlorocyclobutyl, 2-chlorocyclobutyl, cyclopentyl, 1-methylcyclopentyl, 2-methylcyclopentyl, 2-chlorocyclopentyl, cyclohexyl, 2,2-difluorocyclobutyl, or 1,2-dimethylcyclopentyl.

[0043] The compounds of formula (8) wherein R¹ is 2-pentyryl; R² is hydrogen; and R⁴ is any of the following substituents:

cyclopropyl, 1-methylcyclopropyl, 2-methylcyclopropyl, 2-fluorocyclopropyl, 2-chlorocyclopropyl, 1,2-dimethylcyclopropyl, 2,2-dimethylcyclopropyl, 2,2-dichloro-1-methylcyclopropyl, 2,2-dichloro-3,3-dimethylcyclopropyl, cyclobutyl, 1-methylcyclobutyl, 2-methylcyclobutyl, 1-chlorocyclobutyl, 2-chlorocyclobutyl, cyclopentyl, 1-methylcyclopentyl, 2-methylcyclopentyl, 2-chlorocyclopentyl, cyclohexyl, 2,2-difluorocyclobutyl, or 1,2-dimethylcyclopentyl.

[0044] The compounds of formula (8) wherein R¹ is 1-methyl-2-butyryl; R² is hydrogen; and R⁴ is any of the following substituents:

cyclopropyl, 1-methylcyclopropyl, 2-methylcyclopropyl, 2-fluorocyclopropyl, 2-chlorocyclopropyl, 1,2-dimethylcyclopropyl, 2,2-dimethylcyclopropyl, 2,2-dichloro-1-methylcyclopropyl, 2,2-dichloro-3,3-dimethylcyclopropyl, cyclobutyl, 1-methylcyclobutyl, 2-methylcyclobutyl, 1-chlorocyclobutyl, 2-chlorocyclobutyl, cyclopentyl, 1-methylcyclopentyl, 2-methylcyclopentyl, 2-chlorocyclopentyl, cyclohexyl, 2,2-difluorocyclobutyl, or 1,2-dimethylcyclopentyl.

[0045] The pests against which the present compound has activity may include, for example, arthropods such as insects and acarines; and nemathelminthes such as nematodes. Specific examples are listed below:

Hemiptera:

Delphacidae such as *Laodelphax striatellus*, *Nilaparvata lugens*, and *Sogatella furcifera*;
 Deltocephalidae such as *Nephotettix cincticeps* and *Empoasca onukii*;
 Aphididae such as *Aphis gossypii* and *Myzus persicae*;
 Pentatomidae;
 Aleyrodidae such as *Trialeurodes vaporariorum*, *Bemisia tabaci*, and *Bemisia argentifolii*;
 Coccidae;
 Tingidae;
 Psyllidae;

Lepidoptera:

Pyralidae such as *Chilo suppressalis*, *Cnaphalocrocis medinalis*, *Ostrinia nubilalis*, and *Parapediasia tererrella*;
 Noctuidae such as *Spodoptera litura*, *Spodoptera exigua*, *Pseudaletia separata*, *Mamestra brassicae*, *Agrotis ipsilon*, *Thoricoplosia* spp., *Heliothis* spp., *Helicoverpa* spp., and *Earias* spp.;

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Pieridae such as *Pieris rapae crucivora*;
Tortricidae such as *Adoxophyes orana fasciata*, *Grapholita molesta*, and *Cydia pomonella*;
Carposinidae such as *Carposina niponensis*;
Lyonetiidae such as *Lyonetia clerkella*;
5 Gracillariidae such as *Phyllonorycter ringoniella*;
Phyllocnistidae such as *Phyllocnistis citrella*;
Yponomeutidae such as *Plutela xylostella*;
Gelechiidae such as *Pectinophora gossypiella*;
Arctiidae;
10 Tineidae;

Diptera:

Calicidae such as *Culex pipiens pallens*, *Culex tritaeniorhynchus*, and *Culex quinquefasciatus*;
15 Aedes spp. such as *Aedes aegypti* and *Aedes albopictus*;
Anopheles spp. such as *Anopheles sinensis*;
Chironomidae;
Muscidae such as *Musca domestica* and *Muscina stabulans*;
Calliphoridae;
20 Sarcophagidae;
Fanniidae;
Anthomyiidae such as *Delia platura* and *Delia antiqua*;
Tephritidae;
Drosophilidae;
25 Psychodidae;
Tabanidae;
Simuliidae;
Stomoxysidae;
Agromyzidae;
30

Coleoptera:

Diabrotica spp. such as *Diabrotica virgifera virgifera* and *Diabrotica undecimpunctata howardi*;
Scarabaeidae such as *Anomala cuprea* and *Anomala rufocuprea*;
35 Curculionidae such as *Sitophilus zeamais*, *Lissorhoptrus oryzophilus*, and *Csillosobruchus chienensis*;
Tenebrionidae such as *Tenebrio molitor* and *Tribolium castaneum*;
Chrysomelidae such as *Oulema oryzae*, *Aulacophora femoralis*, *Phyllotreta striolata*, and *Leptinotarsa decem-*
lineata;
Anobiidae;
40 Epilachna spp. such as *Epilachna vigintioctopunctata*;
Lyctidae;
Bostrychidae;
Cerambycidae;
Paederus fuscipes;
45

Thysanoptera:

Thripidae spp. including Thrips spp. such as *Thrips palmi*, Frankliniella spp. such as *Frankliniella occidentalis*,
and Sciltothrips spp. such as *Sciltothrips dorsalis*;
50 Phlaeothripidae spp.;
Hymenoptera:
Tenthredinidae;
Formicidae;
Vespidae;
55

Dictyoptera:

Periplaneta spp.;

Blatta spp.;
Orthoptera:
Acrididae;
Gryllotalpidae;
Aphaniptera:
Pulex irritans;

Anoplura:

Pediculus humanus;
Isoptera:
Termitidae;

Acarina:

Tetranychidae such as *Tetranychus urticae*, *Tetranychus kanzawai*, *Panonychus citri*, *Panonychus ulmi*, and *Oligonychus* spp.;
Eriophyidae such as *Aculops pelekassi* and *Aculus schlechtendali*;
Tarsonemidae such as *Polyphagotarsonemus latus*;
Tenuipalpidae;
Tuckerellidae;
Ixodidae such as *Haemaphysalis longicornis*, *Haemaphysalis flava*, *Dermacentor taiwanicus*, *Ixodes ovatus*, *Ixodes persulcatus*, and *Boophilus microplus*;
Acaridae such as *Tyrophagus putrescentiae*;
Epidermoptidae such as *Dermatophagoides farinae* and *Dermatophagoides pteromyssus*;
Cheyletidae such as *Cheyletus eruditus*, *Cheyletus malaccensis*, and *Cheyletus moorei*;
Dermanyssidae;

Nematoda:

Pratylenchus coffeae, *Pratylenchus fallax*, *Heterodera glycines*, *Globodera rostochiensis*, *Meloidogyne hapla*, *Meloidogyne incognita*.

[0046] The pesticidal composition of the present invention is comprising the present compound as an active ingredient, and an additive. The pesticidal composition of the present invention may be prepared by mixing the present compound with a solid carrier, a liquid carrier, a gaseous carrier and/or a bait, and if necessary, adding a surfactant and other adjuvants, and then formulating the mixture into an oil solution, an emulsifiable concentrate, a flowable, a granule, a dust, a poison bait, a microcapsule formulation, or the like. In each of these formulations, the present compound is usually contained in an amount of 0.1% to 95% by weight.

[0047] The solid carrier which can be used in the formulation may include, for example, the following materials in fine powder or granular form: clays (e.g., kaolin clay, diatomaceous earth, synthetic hydrated silicon oxide, bentonite, Fubasami clay, acid clay); talc, ceramic, and other inorganic minerals (e.g., sericite, quartz, sulfur, activated carbon, calcium carbonate, hydrated silica); and chemical fertilizers (e.g., ammonium sulfate, ammonium phosphate, ammonium nitrate, urea, ammonium chloride).

[0048] The liquid carrier may include, for example, water; alcohols (e.g., methanol, ethanol); ketones (e.g., acetone, methyl ethyl ketone); aromatic hydrocarbons (e.g., benzene, toluene, xylene, ethylbenzene, methylnaphthalene); aliphatic hydrocarbons (e.g., hexane, cyclohexane, kerosine, light oil); esters (e.g., ethyl acetate, butyl acetate); nitriles (acetonitrile, isobutyronitrile); ethers (e.g., diisopropyl ether, dioxane); acid amides (e.g., N,N-dimethylformamide, N,N-dimethylacetamide); halogenated hydrocarbons (e.g., dichloromethane, trichloroethane, carbon tetrachloride); dimethylsulfoxide; and vegetable oils (e.g., soy bean oil and cotton seed oil).

[0049] The gaseous carrier may include, for example, fluorocarbon, butane gas, liquefied petroleum gas (LPG), dimethyl ether, and carbon dioxide.

[0050] The surfactant may include, for example, alkyl sulfate salts; alkylsulfonic acid salts; alkylarylsulfonic acid salts; alkyl aryl ethers and their polyoxyethylene derivatives; polyethylene glycol ethers; polyhydric alcohol esters; and sugar alcohol derivatives.

[0051] The other adjuvants may include binders, dispersants, and stabilizers, specific examples of which are casein, gelatin, polysaccharides (e.g., starch, gum arabic, cellulose derivatives, alginic acid), lignin derivatives, bentonite, sugars, synthetic water-soluble polymers (e.g., polyvinyl alcohol, polyvinylpyrrolidone, polyacrylic acid), PAP (isopropyl acid

phosphate), BHT (2,6-di-*t*-butyl-4-methylphenol), BHA (mixtures of 2-*t*-butyl-4-methoxyphenol and 3-*t*-butyl-4-methoxyphenol), vegetable oils, mineral oils, fatty acids, and fatty acid esters.

[0052] The base material for poison baits may include, for example, bait ingredients such as grain powders, vegetable oils, sugars, and crystalline cellulose; antioxidants such as dibutylhydroxytoluene and nordihydroguaiaretic acid; preservatives such as dehydroacetic acid; agents for preventing children and pets from erroneously eating, such as hot pepper powder; and pest-attractive flavors such as cheese flavor, onion flavor, and peanut oil.

[0053] The method for controlling pests according to the present invention is carried out by applying the pesticidal composition of the present invention to pests or habitats of pests excluding human beings and animals.

[0054] When the pesticidal composition of the present invention is used for the control of pests in agriculture and forestry, the application amount is usually 1 to 10,000 g as the amount of the present compound per 1,000 m². Formulations such as emulsifiable concentrates, wettable powders, flowables, and microcapsule formulations are usually used after dilution with water to have an active ingredient concentration of 10 to 10,000 ppm, while formulations such as granules and dusts are usually used as such.

[0055] The pesticidal composition of the present invention can be used by foliar treatment to plants such as crop plants to be protected from pests or can also be used by treatment to seedbeds prior to the planting of crop plant seedlings or to planting holes or plant bottoms in the planting. Further, for the purpose of controlling pests inhabiting the soil of a cultivated land, the pesticidal composition of the present invention may also be used by treatment to the soil. The pesticidal composition of the present invention can also be used by winding a resin formulation processed into a sheet, string, or cord form around crop plants, or by stretching it in the vicinity of crop plants and/or laying it on the soil surface at the plant bottom.

[0056] The pesticidal composition of the present invention can also be used in admixture or combination with other insecticides, nematocides, acaricides, bactericides, fungicides, herbicides, plant growth regulators, synergists, fertilizers, soil conditioners, animal feeds, and the like.

[0057] The insecticide and/or acaricide and/or nematocide which can be used may include, for example, organophosphorus compounds such as Fenitrothion, Fenthion, Pyridaphenthion, Diazinon, Chlorpyrifos, Chlorpyrifosmethyl, Acephate, Methidathion, Disulfoton, DDVP, Sulprofos, Profenofos, Cyanophos, Dioxabenzofos, Dimethoate, Phenthoate, Malathion, Trichlorfon, Azinphos-methyl, Monocrotophos, Dicrotophos, Ethion, and Fosthiazate; carbamate compounds such as BPMC, Benfuracarb, Propoxur, Carbosulfan, Carbaril, Methomyl, Ethiofencarb, Aldicarb, Oxamyl, Fenothiocarb, Thiodicarb, and Alanycarb; pyrethroid compounds such as Etofenprox, Fenvalerate, Esfenvalerate, Fenpropathrin, Cypermethrin, α -Cypermethrin, Z-Cypermethrin, Permethrin, Cyhalothrin, λ -Cyhalothrin, Cyfluthrin, β -Cyfluthrin, Deltamethrin, Cycloprothrin, τ -Fluvalinate, Flucythrinate, Bifenthrin, Acrinathrin, Tralomethrin, Silafluofen, and Halfenprox; neonicotinoid compounds such as Thiamethoxiam and Acetamiprid; benzoylphenylurea compounds such as Chlorfluazuron, Teflubenzuron, Fulphenoxon, and Lufenuron; benzoylhydrazide compounds such as Tebufenozide, Halofenozide, Methoxyfenozide, and Chromafenozide; thiadiazine derivatives such as Buprofezin; Nereistoxin derivatives such as Cartap, Thiocyclam, and Bensultap; chlorinated hydrocarbon compounds such as Endosulfan, γ -BHC, and 1,1-bis(chlorophenyl)-2,2,2-trichloroethanol; formamidine derivatives such as Amitraz and Chlordimeform; thiourea derivatives such as Diafenthiuron; phenylpyrazol compounds; Chlorfenapyr; Pymetrozine; Spinosad; Indoxacarb; Pyridalyl; Pyriproxyfen; Fenoxycarb; Diofenolan; Cyromazine; Bromo-propylate; Tetradifon; Chinomethionat; Propargite; Fenbutatin oxide; Hexathiazox; Etoxazole; Clofentezine; Pyridaben; Fenpyroximate; Tebufenpyrad; Pyrimidifen; Fenazaquin; Acequinocyl; Bifenazate; Fluacrypyrim; Milbemectin; Avermectin; Emamectin benzoate; Azadilactin [AZAD]; and polynactin complexes [e.g., tetranactin, dinactin, trinactin].

Examples

[0058] The present invention will be further illustrated by the following production examples, formulation examples, and test examples; however, the present invention is not limited to these examples.

[0059] In the production examples and reference production examples, all ¹H-NMR data were measured in deuterated chloroform using tetramethylsilane as the internal standard, unless otherwise indicated.

[0060] The following will describe production examples for the present compounds. The present compound numbers used in the production examples are those shown below in Tables 1 and 2.

Production Example 1

[0061] In 0.5 ml of tetrahydrofuran was suspended 0.02 g of sodium hydride (60% in oil), to which 0.1 ml of a solution containing 0.02 g of 2-buten-1-ol in tetrahydrofuran was added dropwise at room temperature. After stirring at room temperature for 20 minutes, 0.1 ml of a solution containing 0.05 g of 4-chloro-6-(isopropoxy)pyrimidine in tetrahydrofuran was added dropwise at room temperature, followed by stirring for 2 hours. The mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with *t*-butyl methyl ether. The combined organic

layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.05 g of 4-(2-butynyloxy)-6-isopropoxypyrimidine (the present compound (1)).

[0062] ¹H-NMR: 1.34 (d, 6H), 1.87 (t, 3H), 4.94 (q, 2H), 5.25-5.34 (m, 1H), 6.05 (s, 1H), 8.42 (s, 1H).

Production Example 2

[0063] In 4 ml of tetrahydrofuran was suspended 0.11 g of sodium hydride (60% in oil), to which 0.5 ml of a solution containing 0.19 g of 1-cyclopropylethanol in tetrahydrofuran was added dropwise at room temperature. After stirring at room temperature for 10 minutes, 0.5 ml of a solution containing 0.3 g of 4,6-dichloropyrimidine in tetrahydrofuran was added dropwise at 0°C, followed by stirring at the same temperature for 4 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated to give a crude product of 4-chloro-6-(1-cyclopropylethoxy)pyrimidine.

[0064] In 4 ml of tetrahydrofuran was suspended 0.11 g of sodium hydride (60% in oil), to which 0.5 ml of a solution containing 0.15 g of 2-butyne-1-ol in tetrahydrofuran was added dropwise at room temperature, followed by stirring for 10 minutes. To this was slowly added dropwise 0.5 ml of a solution containing the above 4-chloro-6-(1-cyclopropylethoxy)pyrimidine in tetrahydrofuran, followed by stirring at room temperature for 1 hour. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The resulting residue was subjected to silica gel column chromatography to give 0.22 g of 4-(2-butynyloxy)-6-(1-cyclopropylethoxy)pyrimidine (the present compound (2)).

[0065] ¹H-NMR: 0.28-0.33 (m, 1H), 0.39-0.58 (m, 3H), 1.08-1.14 (m, 1H), 1.38 (d, 3H), 1.87 (t, 3H), 4.65-4.74 (m, 1H), 4.94 (q, 2H), 6.08 (s, 1H), 8.39 (s, 1H).

Production Example 3

[0066] In 2 ml of tetrahydrofuran was suspended 0.06 g of sodium hydride (60% in oil), to which 0.3 ml of a solution containing 0.09 g of 2-butyne-1-ol in tetrahydrofuran was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.3 ml of a solution containing 0.24 g of 4-chloro-6-(1,2,2-trimethylpropyloxy)pyrimidine in tetrahydrofuran at room temperature, followed by stirring for 3 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.25 g of 4-(2-butynyloxy)-6-(1,2,2-trimethylpropyloxy)pyrimidine (the present compound (3)).

[0067] ¹H-NMR: 0.96 (s, 9H), 1.22 (d, 3H), 1.87 (t, 3H), 4.93-5.01 (m, 3H, involving two quartet at 4.95 and 4.98), 6.06 (s, 1H), 8.42 (s, 1H).

Production Example 4

[0068] In 3 ml of tetrahydrofuran was suspended 0.08 g of sodium hydride (60% in oil), to which 0.3 ml of a solution containing 0.12 g of 2-butyne-1-ol in tetrahydrofuran was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.3 ml of a solution containing 0.35 g of 4-chloro-6-(1-ethyl-2,2-dimethylpropyloxy)pyrimidine in tetrahydrofuran at room temperature, followed by stirring for 6 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.22 g of 4-(2-butynyloxy)-6-(1-ethyl-2,2-dimethylpropyloxy)pyrimidine (the present compound (4)).

[0069] ¹H-NMR: 0.84 (t, 3H), 0.92 (s, 9H), 1.52-1.72 (m, 2H), 1.88 (t, 3H), 4.95 (q, 2H), 5.13 (dd, 1H), 6.07 (s, 1H), 8.39 (s, 1H).

Production Example 5

[0070] In 4 ml of tetrahydrofuran was suspended 0.11 g of sodium hydride (60% in oil), to which 0.5 ml of a solution containing 0.23 g of 4-methyl-2-pentanol in tetrahydrofuran was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of a solution containing 0.3 g of 4,6-dichloropyrimidine in tetrahydrofuran at 0°C, followed by stirring at the same temperature for 2 hours and further stirring at room temperature for 4 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three

times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution and dried over anhydrous magnesium sulfate to give a crude product of 4-chloro-6-(1,3-dimethylbutoxy)pyrimidine.

[0071] In 3.5 ml of tetrahydrofuran was suspended 0.1 g of sodium hydride (60% in oil), to which 0.3 ml of a solution containing 0.16 g of 2-butyne-1-ol in tetrahydrofuran was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.3 ml of a solution containing the above 4-chloro-6-(1,3-dimethylbutoxy)pyrimidine in tetrahydrofuran, followed by stirring at room temperature for 4 hour. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The resulting residue was subjected to silica gel column chromatography to give 0.30 g of 4-(2-butyneoxy)-6-(1,3-dimethylbutoxy)pyrimidine (the present compound (5)).

[0072] ¹H-NMR: 0.89-0.94 (m, 6H), 1.29 (d, 3H), 1.32-1.41 (m, 1H), 1.65-1.83 (m, 2H), 1.87 (t, 3H), 4.94 (q, 2H), 5.26-5.35 (m, 1H), 6.05 (s, 1H), 8.42 (s, 1H).

Production Example 6

[0073] In 1.6 ml of tetrahydrofuran was suspended 0.04 g of sodium hydride (60% in oil), to which 0.2 ml of a solution containing 0.06 g of 2-butyne-1-ol in tetrahydrofuran was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.2 ml of a solution containing 0.16 g of 4-chloro-6-(2,2-dimethylpropyloxy)pyrimidine in tetrahydrofuran at room temperature, followed by stirring for 2 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.15 g of 4-(2-butyneoxy)-6-(2,2-dimethylpropyloxy)pyrimidine (the present compound (6)).

[0074] ¹H-NMR: 1.01 (s, 9H), 1.87 (t, 3H), 3.98 (s, 2H), 4.95 (q, 2H), 6.11 (s, 1H), 8.43 (s, 1H).

Production Example 7

[0075] In 4 ml of tetrahydrofuran was suspended 0.11 g of sodium hydride (60% in oil), to which 0.5 ml of a solution containing 0.24 g of 4,4-dimethyl-2-pentanol in tetrahydrofuran was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of a solution containing 0.3 g of 4,6-dichloropyrimidine in tetrahydrofuran at 0°C, followed by stirring at the same temperature for 2 hours and further stirring at room temperature for 4 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution and dried over anhydrous magnesium sulfate to give a crude product of 4-chloro-6-(1,3,3-trimethylbutoxy)pyrimidine.

[0076] In 2 ml of tetrahydrofuran was suspended 0.03 g of sodium hydride (60% in oil), to which 0.5 ml of a solution containing 0.05 g of 2-butyne-1-ol in tetrahydrofuran was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of a solution containing the above 4-chloro-6-(1,3,3-trimethylbutoxy)pyrimidine in tetrahydrofuran, followed by stirring at room temperature for 4 hour. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.14 g of 4-(2-butyneoxy)-6-(1,3,3-trimethylbutoxy)pyrimidine (the present compound (7)).

[0077] ¹H-NMR: 0.91 (s, 9H), 1.29 (d, 3H), 1.40 (dd, 1H), 1.78 (dd, 1H), 1.87 (t, 3H), 4.94 (q, 2H), 5.34-5.39 (m, 1H), 6.03 (s, 1H), 8.44 (s, 1H).

Production Example 8

[0078] In 2 ml of tetrahydrofuran was suspended 0.03 g of sodium hydride (60% in oil), to which 0.3 ml of a solution containing 0.05 g of 2-butyne-1-ol in tetrahydrofuran was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.3 ml of a solution containing 0.10 g of 4-chloro-6-[1-(1-methylcyclopropyl)ethoxy]pyrimidine in tetrahydrofuran, followed by stirring at room temperature for 3 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.09 g of 4-(2-butyneoxy)-6-[1-(1-methylcyclopropyl)ethoxy]pyrimidine (the present compound (8)).

[0079] ¹H-NMR: 0.29-0.37 (m, 2H), 0.43-0.46 (m, 1H), 0.55-0.61 (m, 1H), 1.14 (s, 3H), 1.33 (d, 3H), 1.87 (t, 3H), 4.71

(q, 1H), 4.94 (q, 2H), 6.07 (s, 1H), 8.39 (s, 1H).

Production Example 9

[0080] In 4 ml of tetrahydrofuran was suspended 0.11 g of sodium hydride (60% in oil), to which 0.5 ml of a solution containing 0.44 g of 2,2-bis(trifluoromethyl)propanol in tetrahydrofuran was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of a solution containing 0.3 g of 4,6-dichloropyrimidine in tetrahydrofuran at 0°C, followed by stirring at the same temperature for 1.5 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution and dried over anhydrous magnesium sulfate to give a crude product of 4-chloro-6-[2,2-bis(trifluoromethyl)propoxy]pyrimidine.

[0081] In 4 ml of tetrahydrofuran was suspended 0.11 g of sodium hydride (60% in oil), to which 0.5 ml of a solution containing 0.16 g of 2-butyne-1-ol in tetrahydrofuran was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of a solution containing the above 4-chloro-6-[2,2-bis(trifluoromethyl)propoxy]pyrimidine in tetrahydrofuran, followed by stirring at room temperature for 6 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.30 g of 4-(2-butyneoxy)-6-[2,2-bis(trifluoromethyl)propoxy]pyrimidine (the present compound (9)).

[0082] ¹H-NMR: 1.49 (s, 3H), 1.87 (t, 3H), 4.69 (s, 2H), 4.97 (q, 2H), 6.17 (s, 1H), 8.45 (s, 1H).

Production Example 10

[0083] In 5 ml of tetrahydrofuran was suspended 0.14 g of sodium hydride (60% in oil), to which 0.5 ml of a solution containing 0.22 g of 2-butyne-1-ol in tetrahydrofuran was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of a solution containing 0.62 g of 4-chloro-6-(3-chloro-2,2-dimethylpropoxy)pyrimidine in tetrahydrofuran at 0°C, followed by stirring at the same temperature for 4 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.62 g of 4-(2-butyneoxy)-6-(3-chloro-2,2-dimethylpropoxy)pyrimidine (the present compound (10)).

[0084] ¹H-NMR: 1.11 (s, 6H), 1.87 (t, 3H), 3.52 (s, 2H), 4.16 (s, 2H), 4.96 (q, 2H), 6.11 (s, 1H), 8.44 (s, 1H).

Production Example 11

[0085] In 4 ml of tetrahydrofuran was suspended 0.10 g of sodium hydride (60% in oil), to which 0.5 ml of a solution containing 0.15 g of 2-butyne-1-ol in tetrahydrofuran was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of a solution containing 0.41 g of 4-chloro-6-(3,3-dimethylbutoxy)pyrimidine in tetrahydrofuran at 0°C, followed by stirring at the same temperature for 5 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.40 g of 4-(2-butyneoxy)-6-(3,3-dimethylbutoxy)pyrimidine (the present compound (11)).

[0086] ¹H-NMR: 0.98 (s, 9H), 1.70 (t, 2H), 1.87 (t, 3H), 4.37 (t, 2H), 4.95 (q, 2H), 6.08 (s, 1H), 8.44 (s, 1H).

Production Example 12

[0087] In 3.5 ml of tetrahydrofuran was suspended 0.09 g of sodium hydride (60% in oil), to which 0.5 ml of a solution containing 0.13 g of 2-butyne-1-ol in tetrahydrofuran was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of a solution containing 0.35 g of 4-chloro-6-(1,2-dimethylpropoxy)pyrimidine in tetrahydrofuran at 0°C, followed by stirring at the same temperature for 4 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.41 g of 4-(2-butyneoxy)-6-(1,2-dimethylpropoxy)pyrimidine (the present compound (12)).

[0088] ¹H-NMR: 0.94 (d, 3H), 0.96 (d, 3H), 1.25 (d, 3H), 1.87-1.98 (m, 4H, involving a triplet at 1.87), 4.94-5.06 (m, 3H, involving a quartet at 4.95), 6.06 (s, 1H), 8.42 (s, 1H).

Production Example 13

[0089] In 4 ml of tetrahydrofuran was suspended 0.11 g of sodium hydride (60% in oil), to which 0.5 ml of a solution containing 0.16 g of 2-butyne-1-ol in tetrahydrofuran was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of a solution containing 0.56 g of 4-chloro-6-(3-bromo-2,2-dimethylpropyloxy)pyrimidine in tetrahydrofuran at 0°C, followed by stirring at the same temperature for 4 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.54 g of 4-(2-butyloxy)-6-(3-bromo-2,2-dimethylpropyloxy)pyrimidine (the present compound (13)).

[0090] ¹H-NMR: 1.14 (s, 6H), 1.87 (t, 3H), 3.45 (s, 2H), 4.16 (s, 2H), 4.96 (q, 2H), 6.11 (s, 1H), 8.44 (s, 1H).

Production Example 14

[0091] First, 0.41 g of 4-(2-butyloxy)-6-(2-hydroxy-1,2-dimethylpropyloxy)pyrimidine was dissolved in 3 ml of chloroform, to which 0.5 ml of a solution containing 0.31 g of dimethylaminosulfate trifluoride (hereinafter referred to as DAST) in chloroform was added dropwise at 0°C, followed by stirring for 20 minutes. The reaction mixture was then poured into water, which was extracted three times with chloroform. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.20 g of 4-(2-butyloxy)-6-(2-fluoro-1,2-dimethylpropyloxy)pyrimidine (the present compound (14)).

[0092] ¹H-NMR: 1.32 (d, 3H), 1.37 (d, 3H), 1.43 (d, 3H), 1.87 (t, 3H), 4.95 (q, 2H), 5.33 (dq, 1H), 6.12 (s, 1H), 8.43 (s, 1H).

Production Example 15

[0093] In 4 ml of tetrahydrofuran was suspended 0.11 g of sodium hydride (60% in oil), to which 0.4 ml of a solution containing 0.15 g of 2-methyl-1-propanol in tetrahydrofuran was added dropwise at 0°C, followed by stirring for 10 minutes. To this was added dropwise 0.4 ml of a solution containing 0.30 g of 4,6-dichloropyrimidine in tetrahydrofuran, followed by stirring at the same temperature for 2 hours. To this was added dropwise 0.4 ml of a solution containing 0.14 g of 2-butyne-1-ol at 0°C and further added 0.11 g of sodium hydride (60% in oil), followed by further stirring at room temperature for 4 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.35 g of 4-(2-butyloxy)-6-isobutyloxy pyrimidine (the present compound (15)).

[0094] ¹H-NMR: 1.00 (d, 6H), 1.87 (t, 3H), 2.01-2.12 (m, 1H), 4.07 (d, 2H), 4.95 (q, 2H), 6.10 (s, 1H), 8.43 (s, 1H).

Production Example 16

[0095] In 4 ml of tetrahydrofuran was suspended 0.10 g of sodium hydride (60% in oil), to which 0.4 ml of a solution containing 0.21 g of 3-methyl-2-pentanol in tetrahydrofuran was added dropwise at 0°C, followed by stirring for 10 minutes. To this was slowly added dropwise 0.4 ml of a solution containing 0.30 g of 4,6-dichloropyrimidine in tetrahydrofuran, followed by stirring at the same temperature for 3 hours. To this was added dropwise 0.4 ml of a solution containing 0.14 g of 2-butyne-1-ol at 0°C and further added 0.10 g of sodium hydride (60% in oil), followed by further stirring at room temperature for 4 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.29 g of 4-(2-butyloxy)-6-(1,2-dimethylbutyloxy)pyrimidine (the present compound (16)).

[0096] ¹H-NMR: 0.86-0.97 (m, 6H), 1.14-1.27 (m, 4H), 1.47-1.82 (m, 2H), 1.87 (t, 3H), 4.95 (q, 2H), 5.08-5.17 (m, 1H), 6.05 (s, 1H), 8.42 (s, 1H).

Production Example 17

[0097] First, 0.31 g of 4-(2-pentyloxy)-6-(2-hydroxy-1,2-dimethylpropyloxy)pyrimidine was dissolved in 3 ml of chloroform, to which 0.5 ml of a solution containing 0.24 g of DAST in chloroform was added dropwise at 0°C, followed by stirring for 10 minutes. The reaction mixture was then poured into water, which was extracted three times with chloroform. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous

magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.16 g of 4-(2-fluoro-1,2-dimethylpropyloxy)-6-(2-pentyloxy)pyrimidine (the present compound (17)).

[0098] ¹H-NMR: 1.14 (t, 3H), 1.33 (d, 3H), 1.37 (d, 3H), 1.44 (d, 3H), 2.22 (qt, 2H), 4.97 (t, 2H), 5.27-5.38 (m, 1H), 6.12 (s, 1H), 8.42 (s, 1H).

Production Example 18

[0099] In 1.5 ml of tetrahydrofuran was suspended 0.04 g of sodium hydride (60% in oil), to which 0.3 ml of a solution containing 0.05 g of 2-butyne-1-ol in tetrahydrofuran was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.3 ml of a solution containing 0.16 g of 4-chloro-6-(2,2-dimethoxy-1-methylethoxy)pyrimidine in tetrahydrofuran at 0°C, followed by stirring at the same temperature for 1 hour and further stirring at room temperature for 3 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.11 g of 4-(2-butyloxy)-6-(2,2-dimethoxy-1-methylethoxy)pyrimidine (the present compound (18)).

[0100] ¹H-NMR: 1.32 (d, 3H), 1.87 (t, 3H), 3.42 (s, 3H), 3.45 (s, 3H), 4.40 (d, 1H), 4.94 (q, 2H), 5.36 (dt, 1H), 6.12 (s, 1H), 8.43 (s, 1H).

Production Example 19

[0101] In 4 ml of tetrahydrofuran was suspended 0.10 g of sodium hydride (60% in oil), to which 0.4 ml of a solution containing 0.33 g of 1,1,1-trichloro-2-propanol in tetrahydrofuran was added dropwise at 0°C, followed by stirring for 10 minutes. To this was added dropwise 0.4 ml of a solution containing 0.30 g of 4,6-dichloropyrimidine in tetrahydrofuran, followed by stirring at the same temperature for 35 minutes. To this was added dropwise 0.4 ml of a solution containing 0.16 g of 2-butyne-1-ol in tetrahydrofuran and further added 0.10 g of sodium hydride (60% in oil), followed by stirring at room temperature for 35 minutes. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.44 g of 4-(2-butyloxy)-6-(2,2,2-trichloro-1-methylethoxy)pyrimidine (the present compound (19)).

[0102] ¹H-NMR: 1.66 (d, 3H), 1.87 (t, 3H), 4.97 (q, 2H), 6.02 (q, 1H), 6.22 (s, 1H), 8.46 (s, 1H).

Production Example 20

[0103] In 1.2 ml of carbon tetrachloride were dissolved 0.15 g of 4-(2-butyloxy)-6-(2-methylallyloxy)pyrimidine and 0.01 g of triethylmethylammonium chloride, to which 1 ml of concentrated hydrochloric acid was added dropwise at 0°C, followed by stirring at 0°C for 30 minutes and at room temperature for 50 minutes. The reaction mixture was then poured into water, which was extracted three times with t-butyl methyl ether. The combined organic layer was washed with a saturated aqueous sodium hydrogencarbonate solution, a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.08 g of 4-(2-butyloxy)-6-(2-chloro-2-methylpropyloxy)pyrimidine (the present compound (20)).

[0104] ¹H-NMR: 1.66 (s, 6H), 1.87 (t, 3H), 4.42 (s, 2H), 4.96 (q, 2H), 6.18 (s, 1H), 8.44 (s, 1H).

Production Example 21

[0105] In 3 ml of tetrahydrofuran was suspended 0.18 g of sodium hydride (60% in oil), to which 8.43 ml of a 0.4 M solution (tetrahydrofuran solution) of 1-cyclobutylethanol was added dropwise at 0°C, followed by stirring for 10 minutes. To this was added dropwise 0.3 ml of a solution containing 0.50 g of 4,6-dichloropyrimidine in tetrahydrofuran, followed by stirring at the same temperature for 3 hours. To this was added dropwise 0.3 ml of a solution containing 0.35 g of 2-butyne-1-ol in tetrahydrofuran and further added 0.24 g of sodium hydride (60% in oil), followed by stirring at room temperature for 7 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.45 g of 4-(2-butyloxy)-6-(1-cyclobutylethoxy)pyrimidine (the present compound (21)).

[0106] ¹H-NMR: 0.94 (d, 3H), 0.96 (d, 3H), 1.15 (t, 3H), 1.25 (d, 3H), 1.86-1.97 (m, 1H), 2.45 (qt, 2H), 4.96-5.07 (m, 3H, involving a quartet at 4.97), 6.07 (s, 1H), 8.41 (s, 1H).

Production Example 22

[0107] In 4 ml of tetrahydrofuran was suspended 0.13 g of sodium hydride (60% in oil), to which 0.5 ml of a solution containing 0.24 g of 3-methyl-2-butanol was added dropwise at 0°C, followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of a solution containing 0.40 g of 4,6-dichloropyrimidine in tetrahydrofuran, followed by stirring at 0°C for 3 hours. To this was added dropwise 0.5 ml of a solution containing 0.29 g of 2-pentyn-1-ol in tetrahydrofuran and further added 0.15 g of sodium hydride (60% in oil), followed by stirring at room temperature for 4 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.44 g of 4-(1,2-dimethylpropyloxy)-6-(2-pentynyloxy)pyrimidine (the present compound (22)).

[0108] ¹H-NMR: 0.94 (d, 3H), 0.96 (d, 3H), 1.15 (t, 3H), 1.25 (d, 3H), 1.86-1.97 (m, 1H), 2.45 (qt, 2H), 4.96-5.07 (m, 3H, involving a quartet at 4.97), 6.07 (s, 1H), 8.41 (s, 1H).

Production Example 23

[0109] In 4 ml of tetrahydrofuran was suspended 0.10 g of sodium hydride (60% in oil), to which 0.4 ml of a solution containing 0.17 g of 2-butanol was added dropwise at 0°C, followed by stirring for 10 minutes. To this was added dropwise 0.4 ml of a solution containing 0.30 g of 4,6-dichloropyrimidine in tetrahydrofuran, followed by stirring at the same temperature for 3 hours. To this was added dropwise 0.4 ml of a solution containing 0.18 g of 2-butyne-1-ol in tetrahydrofuran and further added 0.10 g of sodium hydride (60% in oil), followed by stirring at room temperature for 7 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.31 g of 4-(sec-butyloxy)-6-(2-butyntyloxy)pyrimidine (the present compound (23)).

[0110] ¹H-NMR: 0.94 (t, 3H), 1.30 (d, 3H), 1.59-1.78 (m, 2H), 1.87 (t, 3H), 4.95 (q, 2H), 5.10-5.15 (m, 1H), 6.06 (s, 1H), 8.42 (s, 1H).

Production Example 24

[0111] In 2 ml of tetrahydrofuran was suspended 0.05 g of sodium hydride (60% in oil), to which 0.3 ml of a solution containing 0.10 g of 3-methyl-2-butanol was added dropwise at 0°C, followed by stirring for 10 minutes. To this was added dropwise 0.3 ml of a solution containing 0.20 g of 4,5,6-trichloropyrimidine in tetrahydrofuran, followed by stirring at the same temperature for 6 hours. To this was added dropwise 0.3 ml of a solution containing 0.08 g of 2-butyne-1-ol in tetrahydrofuran and further added 0.05 g of sodium hydride (60% in oil), followed by stirring at room temperature for 3 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.15 g of 4-(2-butyntyloxy)-5-chloro-6-(1,2-dimethylpropyloxy)pyrimidine (the present compound (24)).

[0112] ¹H-NMR: 0.97-1.00 (m, 6H), 1.30 (d, 3H), 1.87 (t, 3H), 1.93-2.01 (m, 1H), 5.02-5.14 (m, 3H, involving a quartet at 5.03), 8.28 (s, 1H).

Production Example 25

[0113] In 2 ml of tetrahydrofuran was suspended 0.06 g of sodium hydride (60% in oil), to which 0.3 ml of a solution containing 0.11 g of 3-methyl-2-butanol was added dropwise at 0°C, followed by stirring for 10 minutes. To this was added dropwise 0.3 ml of a solution containing 0.20 g of 4,6-dichloro-5-methylpyrimidine in tetrahydrofuran, followed by stirring at the same temperature for 5 hours. To this was added dropwise 0.3 ml of a solution containing 0.10 g of 2-butyne-1-ol in tetrahydrofuran and further added 0.06 g of sodium hydride (60% in oil), followed by stirring at room temperature for 3 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.20 g of 4-(2-butyntyloxy)-6-(1,2-dimethylpropyloxy)-5-methylpyrimidine (the present compound (25)).

[0114] ¹H-NMR: 0.95-0.98 (m, 6H), 1.26 (d, 3H), 1.86-1.96 (m, 4H, involving a triplet at 1.87), 2.02 (s, 3H), 4.97 (q, 2H), 5.02-5.09 (m, 1H), 8.29 (s, 1H).

Production Example 26

[0115] In 4 ml of tetrahydrofuran was suspended 0.10 g of sodium hydride (60% in oil), to which 0.4 ml of a solution containing 0.23 g of 1-cyclopentylethanol was added dropwise at 0°C, followed by stirring for 10 minutes. To this was added dropwise 0.4 ml of a solution containing 0.30 g of 4,6-dichloropyrimidine in tetrahydrofuran, followed by stirring at the same temperature for 4 hours. To this was added dropwise 0.4 ml of a solution containing 0.16 g of 2-butyne-1-ol in tetrahydrofuran and further added 0.10 g of sodium hydride (60% in oil), followed by stirring for 3 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.25 g of 4-(2-butyneoxy)-6-(1-cyclopentylethoxy)pyrimidine (the present compound (26)).

[0116] ¹H-NMR: 1.23-1.36 (m, 5H), 1.55-1.87 (m, 8H, involving a triplet at 1.87), 2.02-2.14 (m, 1H), 4.95 (q, 2H), 5.03-5.10 (m, 1H), 6.05 (s, 1H), 8.42 (s, 1H).

Production Example 27

[0117] In 4 ml of tetrahydrofuran was suspended 0.10 g of sodium hydride (60% in oil), to which 0.4 ml of a solution containing 0.26 g of 1-cyclohexylethanol was added dropwise at 0°C, followed by stirring for 10 minutes. To this was added dropwise 0.4 ml of a solution containing 0.30 g of 4,6-dichloropyrimidine in tetrahydrofuran, followed by stirring at the same temperature for 4 hours. To this was added dropwise 0.4 ml of a solution containing 0.16 g of 2-butyne-1-ol in tetrahydrofuran and further added 0.10 g of sodium hydride (60% in oil), followed by stirring for 4 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.44 g of 4-(2-butyneoxy)-6-(1-cyclohexylethoxy)pyrimidine (the present compound (27)).

[0118] ¹H-NMR: 0.88-1.27 (m, 8H, involving a doublet at 1.24), 1.57-1.88 (m, 9H, involving a triplet at 1.87), 4.94 (q, 2H), 4.97-5.06 (m, 1H), 6.05 (s, 1H), 8.41 (s, 1H).

Production Example 28

[0119] First, 0.33 g of 4-(2-butyneoxy)-6-(2-hydroxy-2-methylpropyloxy)-pyrimidine was dissolved in 3 ml of chloroform, to which 0.5 ml of a solution containing 0.25 g of DAST in chloroform was added dropwise at 0°C, followed by stirring for 30 minutes. The reaction mixture was then poured into water, which was extracted three times with chloroform. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.21 g of 4-(2-butyneoxy)-6-(2-fluoro-2-methylpropyloxy)pyrimidine (the present compound (28)).

[0120] ¹H-NMR: 1.45 (d, 6H), 1.87 (t, 3H), 4.37 (d, 2H), 4.96 (q, 2H), 6.18 (s, 1H), 8.43 (s, 1H).

Production Example 29

[0121] First, 0.38 g of 4,6-dichloropyrimidine was dissolved in 5 ml of tetrahydrofuran, to which 0.12 g of sodium hydride (60% in oil) was added and 0.4 ml of a solution containing 0.33 g of 1,1-dichloro-2-propanol in tetrahydrofuran was added dropwise at 0°C, followed by stirring at 0°C for 2 hours. To this was added dropwise 0.4 ml of a solution containing 0.16 g of 2-butyne-1-ol in tetrahydrofuran at room temperature and further added 0.10 g of sodium hydride (60% in oil), followed by stirring at room temperature for 3 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.32 g of 4-(2-butyneoxy)-6-(2,2-dichloro-1-methylethoxy)pyrimidine (the present compound (29)).

[0122] ¹H-NMR: 1.55 (d, 3H), 1.87 (t, 3H), 4.95 (q, 2H), 5.54-5.62 (m, 1H), 6.05 (m, 1H), 6.16 (s, 1H), 8.43 (s, 1H).

Production Example 30

[0123] In 4 ml of tetrahydrofuran was suspended 0.11 g of sodium hydride (60% in oil), to which 0.4 ml of a solution containing 0.23 g of 2,4-dimethyl-3-pentanol was added dropwise at 0°C, followed by stirring for 10 minutes. To this was added dropwise 0.4 ml of a solution containing 0.30 g of 4,6-dichloropyrimidine in tetrahydrofuran, followed by stirring at the same temperature for 3 hours. To this was added dropwise 0.4 ml of a solution containing 0.17 g of 2-butyne-1-ol in tetrahydrofuran at room temperature and further added 0.11 g of sodium hydride (60% in oil), followed by stirring for

4 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.44 g of 4-(2-butynyloxy)-6-(1-isopropyl-2-methylpropyloxy)pyrimidine (the present compound (30)).

[0124] ¹H-NMR: 0.91 (d, 12H), 1.88 (t, 3H), 1.96-2.04 (m, 2H), 4.95 (q, 2H), 5.05-5.08 (m, 1H), 6.07 (s, 1H), 8.39 (s, 1H).

Production Example 31

[0125] In 2 ml of tetrahydrofuran was suspended 0.03 g of sodium hydride (60% in oil), to which 0.3 ml of a solution containing 0.26 g of 4-chloro-6-(2-hydroxy-1,2-dimethylpropyloxy)pyrimidine was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.3 ml of a solution containing 0.11 g of iodomethane in tetrahydrofuran, followed by further stirring at the same temperature for 4 hours. To this was added dropwise 0.3 ml of a solution containing 0.06 g of 2-butyne-1-ol in tetrahydrofuran at room temperature and further added 0.03 g of sodium hydride (60% in oil), followed by stirring for 5 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.04 g of 4-(2-butynyloxy)-6-(2-methoxy-1,2-dimethylpropyloxy)pyrimidine (the present compound (31)).

[0126] ¹H-NMR: 1.21 (s, 3H), 1.22 (s, 3H), 1.28 (d, 3H), 1.87 (t, 3H), 3.26 (s, 3H), 4.94 (q, 2H), 5.28 (q, 1H), 6.10 (s, 1H), 8.42 (s, 1H).

Production Example 32

[0127] In 1.5 ml of tetrahydrofuran was suspended 0.04 g of sodium hydride (60% in oil), to which 0.3 ml of a solution containing 0.07 g of 2-butyne-1-ol was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.3 ml of a solution containing 0.23 g of 4-chloro-6-(2,2-dichloro-1-methylcyclopropylmethoxy)pyrimidine in tetrahydrofuran at 0°C, followed by stirring at room temperature for 7 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.22 g of 4-(2-butynyloxy)-6-(2,2-dichloro-1-methylcyclopropyl)methoxypyrimidine (the present compound (32)).

[0128] ¹H-NMR: 1.36 (d, 1H), 1.50 (s, 3H), 1.56 (d, 1H), 1.88 (t, 3H), 4.34 (d, 1H), 4.58 (d, 1H), 4.96 (q, 2H), 6.18 (s, 1H), 8.43 (s, 1H).

Production Example 33

[0129] In 7 ml of tetrahydrofuran was suspended 0.24 g of sodium hydride (60% in oil), to which 1 ml of a solution containing 0.28 g of propargyl alcohol was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 1 ml of a solution containing 1 g of 4-chloro-6-(1,2-dimethylpropyloxy)pyrimidine in tetrahydrofuran at the same temperature, followed by stirring at room temperature for 5 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 1.24 g of 4-(1,2-dimethylpropyloxy)-6-(2-propynyloxy)pyrimidine (the present compound (33)).

[0130] ¹H-NMR: 0.95 (d, 3H), 0.98 (d, 3H), 1.26 (d, 3H), 1.88-1.96 (m, 1H), 2.50 (t, 1H), 4.98-5.05 (m, 3H), 6.07 (s, 1H), 8.44 (s, 1H).

Production Example 34

[0131] In 3 ml of carbon tetrachloride were dissolved 0.23 g of 4-(2-butynyloxy)-6-(1,2-dimethylallyloxy)pyrimidine and 0.01 g of triethylmethylammonium chloride, to which 1 ml of concentrated hydrochloric acid was added dropwise at 0°C, followed by stirring at 0°C for 30 minutes and at room temperature for 3 hours. The reaction mixture was then poured into water, which was extracted three times with t-butyl methyl ether. The combined organic layer was washed with a saturated aqueous sodium hydrogencarbonate solution, a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.05 g of 4-(2-butynyloxy)-6-(2-chloro-1,2-dimethylpropyloxy)pyrimidine (the present compound (34)).

[0132] ¹H-NMR: 1.41 (d, 3H), 1.60 (s, 6H), 1.87 (t, 3H), 4.95 (q, 2H), 5.41 (q, 1H), 6.12 (s, 1H), 8.43 (s, 1H).

[0134] ¹H-NMR: 1.88 (t, 3H), 4.98 (q, 2H), 5.08 (s, 2H), 6.29 (s, 1H), 8.46 (s, 1H).

Production Example 36

[0136] $^1\text{H-NMR}$: 1.57 (d, 3H), 1.88 (t, 3H), 2.16 (s, 3H), 4.96 (q, 2H), 5.72 (q, 12H), 6.17 (s, 1H), 8.45 (s, 1H).

Production Example 37

[0138] ¹H-NMR: 1.87 (t, 3H), 2.19 (s, 3H), 4.78 (s, 2H), 4.98 (q, 2H), 6.22 (s, 1H), 8.45 (s, 1H).

Production Example 38

[0140] ¹H-NMR: 1.15 (t, 3H), 1.67 (d, 3H), 2.25 (qt, 2H), 4.99 (t, 2H), 6.02 (q, 1H), 6.22 (s, 1H), 8.45 (s, 1H).

Production Example 39

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drofuran at 0°C, followed by stirring at the same temperature for 2.5 hours. To this was added dropwise 0.4 ml of a solution containing 0.15 g of 2-butyne-1-ol in tetrahydrofuran at room temperature and further added 0.09 g of sodium hydride (60% in oil), followed by stirring for 4 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.45 g of 4-(2-butyneoxy)-6-(1-(dichloromethyl)-2,2-dimethylpropyloxy)pyrimidine (the present compound (39)).

[0142] ¹H-NMR: 1.08 (s, 9H), 1.88 (t, 3H), 4.97 (q, 2H), 5.79 (d, 1H), 6.06 (d, 1H), 6.24 (s, 1H), 8.44 (s, 1H).

Production Example 40

[0143] In 5 ml of chloroform were dissolved 0.64 g of 4-(2-pentyneoxy)-6-(2-methyl-2-propenyloxy)pyrimidine and 0.06 g of trioctylmethylammonium chloride, to which 3 ml of concentrated hydrochloric acid was added dropwise at 0°C, followed by stirring at 0°C for 30 minutes and at room temperature for 7 hours. The reaction mixture was then poured into water, which was extracted three times with t-butyl methyl ether. The combined organic layer was washed with a saturated aqueous sodium hydrogencarbonate solution, a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.12 g of 4-(2-pentyneoxy)-6-(2-chloro-2-methylpropyloxy)pyrimidine (the present compound (40)).

[0144] ¹H-NMR: 1.15 (t, 3H), 1.66 (s, 6H), 2.24 (qt, 2H), 4.42 (s, 2H), 4.97 (t, 2H), 6.18 (s, 1H), 8.43 (s, 1H).

Production Example 41

[0145] To a solution containing 0.3 g of 4-(2-pentyneoxy)-6-(1,2-dimethyl-2-propenyloxy)pyrimidine in 3 ml of tetrahydrofuran was added dropwise 3.66 ml of a 1 mol/l solution of hydrogen chloride in diethyl ether, followed by stirring at room temperature for 9 hours. The reaction mixture was then poured into a saturated aqueous sodium hydrogencarbonate solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium chloride, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.07 g of 4-(2-pentyneoxy)-6-(2-chloro-1,2-dimethylpropyloxy)pyrimidine (the present compound (41)).

[0146] ¹H-NMR: 1.15 (t, 3H), 1.31 (d, 3H), 1.64 (s, 6H), 2.25 (qt, 2H), 4.96 (t, 2H), 5.39 (q, 1H), 6.13 (s, 1H), 8.42 (s, 1H).

[0147] The present compounds described in the above production examples are listed together with their compound numbers in Tables 1 and 2.

[0148] The compounds of formula (1):

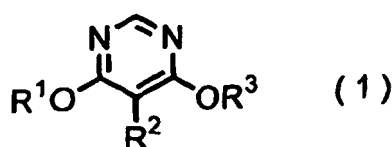


TABLE 1

Compound No.	R ¹	R ²	R ³
1	CH ₂ C≡CCH ₃	H	CH(CH ₃) ₂
2	CH ₂ C≡CCH ₃	H	CH(CH ₃)-cC ₃ H ₅
3	CH ₂ C≡CCH ₃	H	CH(CH ₃)C(CH ₃) ₃
4	CH ₂ C≡CCH ₃	H	CH(C ₂ H ₅)C(CH ₃) ₃
5	CH ₂ C≡CCH ₃	H	CH(CH ₃)CH ₂ CH(CH ₃) ₂
6	CH ₂ C≡CCH ₃	H	CHC(CH ₃) ₃
7	CH ₂ C≡CCH ₃	H	CH(CH ₃)CH ₂ C(CH ₃) ₃
8	CH ₂ C≡CCH ₃	H	CH(CH ₃)-X ¹
9	CH ₂ C≡CCH ₃	H	CH ₂ C(CF ₃) ₂ CH ₃
10	CH ₂ C≡CCH ₃	H	CH ₂ CH(CH ₃) ₂ CH ₂ Cl
11	CH ₂ C≡CCH ₃	H	CH ₂ CH ₂ C(CH ₃) ₃

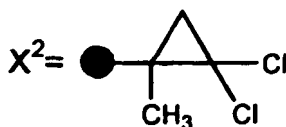
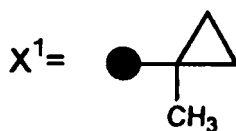
(continued)

Compound No.	R ¹	R ²	R ³
12	CH ₂ C≡CCH ₃	H	CH(CH ₃)CH(CH ₃) ₂
13	CH ₂ C≡CCH ₃	H	CH ₂ C(CH ₃) ₂ CH ₂ Br
14	CH ₂ C≡CCH ₃	H	CH(CH ₃)CF(CH ₃) ₂
15	CH ₂ C≡CCH ₃	H	CH ₂ CH(CH ₃) ₂
16	CH ₂ C≡CCH ₃	H	CH(CH ₃)CH(CH ₃)C ₂ H ₅
17	CH ₂ C≡CC ₂ H ₅	H	CH(CH ₃)CF(CH ₃) ₂
18	CH ₂ C≡CCH ₃	H	CH(CH ₃)CH(OCH ₃) ₂
19	CH ₂ C≡CCH ₃	H	CH(CH ₃)CCl ₃
20	CH ₂ C≡CCH ₃	H	CH ₂ CCl(CH ₃) ₂
21	CH ₂ C≡CCH ₃	H	CH(CH ₃)cC ₄ H ₇
22	CH ₂ C≡CC ₂ H ₅	H	CH(CH ₃)CH(CH ₃) ₂
23	CH ₂ C≡CCH ₃	H	CH(CH ₃)C ₂ H ₅
24	CH ₂ C≡CCH ₃	Cl	CH(CH ₃)CH(CH ₃) ₂
25	CH ₂ C≡CCH ₃	CH ₃	CH(CH ₃)CH(CH ₃) ₂

TABLE 2

Compound No.	R ¹	R ²	R ³
26	CH ₂ C≡CCH ₃	H	CH(CH ₃)cC ₅ H ₉
27	CH ₂ C≡CCH ₃	H	CH(CH ₃)cC ₆ H ₁₁
28	CH ₂ C≡CCH ₃	H	CH ₂ CF(CH ₃) ₂
29	CH ₂ C≡CCH ₃	H	CH(CH ₃)CHCl ₂
30	CH ₂ C≡CCH ₃	H	CH[CH(CH ₃) ₂] ₂
31	CH ₂ C≡CCH ₃	H	CH(CH ₃)C(CH ₃) ₂ OCH ₃
32	CH ₂ C≡CCH ₃	H	CH ₂ -X ²
33	CH ₂ C≡CH	H	CH(CH ₃)CH(CH ₃) ₂
34	CH ₂ C≡CCH ₃	H	CH(CH ₃)CCl(CH ₃) ₂
35	CH ₂ C≡CCH ₃	H	CH ₂ CCl ₃
36	CH ₂ C≡CCH ₃	H	CH(CH ₃)CCl ₂ CH ₃
37	CH ₂ C≡CCH ₃	H	CH ₂ CCl ₂ CH ₃
38	CH ₂ C≡CC ₂ H ₅	H	CH(CH ₃)CCl ₃
39	CH ₂ C≡CCH ₃	H	CH(C(CH ₃) ₃)CHCl ₂
40	CH ₂ C≡CC ₂ H ₅	H	CH ₂ CCl(CH ₃) ₂
41	CH ₂ C≡CC ₂ H ₅	H	CH(CH ₃)CCl(CH ₃) ₂

[0149] In the tables, "c" means cyclo-, and X¹ and X² mean, respectively, the following groups:



[0150] The following will reference production examples for the production of intermediates in the production of the present compounds.

Reference Production Example 1

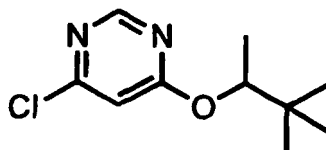
[0151] In 3.5 ml of tetrahydrofuran was suspended 0.11 g of sodium hydride (60% in oil), to which 0.5 ml of tetrahydrofuran containing 0.12 g of isopropyl alcohol dissolved therein was added dropwise at 0°C, followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of tetrahydrofuran containing 0.3 g of 4,6-dichloropyrimidine dissolved therein, followed by stirring at 0°C for 2 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.26 g of 4-chloro-6-isopropoxy pyrimidine.

[0152] ¹H-NMR: 1.36 (d, 6H), 5.33-5.43 (m, 1H), 6.70 (s, 1H), 8.55 (s, 1H).

Reference Production Example 2

[0153] In 4 ml of tetrahydrofuran was suspended 0.11 g of sodium hydride (60% in oil), to which 0.5 ml of tetrahydrofuran containing 0.23 g of 3,3-dimethyl-2-butanol dissolved therein was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of tetrahydrofuran containing 0.3 g of 4,6-dichloropyrimidine dissolved therein, followed by stirring at the same temperature for 6 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.24 g of 4-chloro-6-(1,2,2-trimethylpropyloxy)pyrimidine.

[0154] 4-Chloro-6-(1,2,2-trimethylpropyloxy)pyrimidine

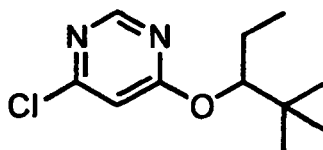


[0155] ¹H-NMR: 0.96 (s, 9H), 1.24 (d, 3H), 5.08 (q, 1H), 6.73 (s, 1H), 8.54 (s, 1H).

Reference Production Example 3

[0156] In 4 ml of tetrahydrofuran was suspended 0.11 g of sodium hydride (60% in oil), to which 0.5 ml of tetrahydrofuran containing 0.26 g of 2,2-dimethyl-3-pentanol dissolved therein was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of tetrahydrofuran containing 0.3 g of 4,6-dichloropyrimidine dissolved therein at 0°C, followed by stirring at the same temperature for 1 hour and at room temperature for 4 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.35 g of 4-chloro-6-(1-ethyl-2,2-dimethylpropyloxy)pyrimidine.

[0157] 4-Chloro-6-(1-ethyl-2,2-dimethylpropyloxy)pyrimidine

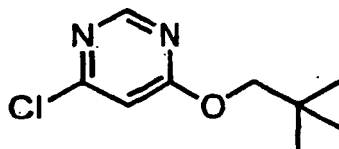


[0158] ¹H-NMR: 0.86 (t, 3H), 0.93 (s, 9H), 1.58-1.78 (m, 2H), 5.25 (dd, 1H), 6.75 (s, 1H), 8.52 (s, 1H).

Reference Production Example 4

[0159] In 4 ml of tetrahydrofuran was suspended 0.10 g of sodium hydride (60% in oil), to which 0.5 ml of tetrahydrofuran containing 0.14 g of 2,2-dimethyl-1-propanol dissolved therein was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of tetrahydrofuran containing 0.3 g of 4,6-dichloropyrimidine dissolved therein at 0°C, followed by stirring at the same temperature for 4 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.16 g of 4-chloro-6-(2,2-dimethylpropyloxy)pyrimidine.

[0160] 4-Chloro-6-(2,2-dimethylpropyloxy)pyrimidine

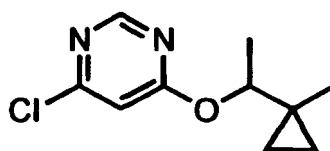


[0161] ¹H-NMR: 0.86 (t, 3H), 0.93 (s, 9H), 1.58-1.78 (m, 2H), 5.25 (dd, 1H), 6.75 (s, 1H), 8.52 (s, 1H).

Reference Production Example 5

[0162] In 4 ml of tetrahydrofuran was suspended 0.13 g of sodium hydride (60% in oil), to which 5.4 ml of a 0.5 mol/l solution containing 1-(1-methylcyclopropyl)ethanol was added dropwise at 0°C, followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of tetrahydrofuran containing 0.4 g of 4,6-dichloropyrimidine dissolved therein at 0°C, followed by stirring at the same temperature for 4 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.18 g of 4-chloro-6-[1-(1-methylcyclopropyl)ethoxy]pyrimidine.

[0163] 4-Chloro-6-[1-(1-methylcyclopropyl)ethoxy]pyrimidine

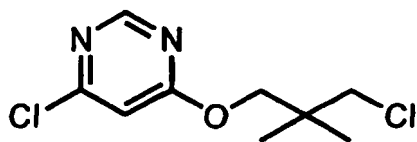


[0164] ¹H-NMR: 0.29-0.38 (m, 2H), 0.42-0.45 (m, 1H), 0.53-0.64 (m, 1H), 1.12 (s, 3H), 1.34 (d, 3H), 4.75 (q, 1H), 6.72 (s, 1H), 8.49 (s, 1H).

Reference Production Example 6

[0165] In 5 ml of tetrahydrofuran was suspended 0.14 g of sodium hydride (60% in oil), to which 0.5 ml of a solution containing 0.36 g of 3-chloro-2,2-dimethyl-1-propanol was added dropwise at 0°C, followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of tetrahydrofuran containing 0.4 g of 4,6-dichloropyrimidine dissolved therein, followed by stirring at the same temperature for 2 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.62 g of 4-chloro-6-(3-chloro-2,2-dimethylpropyloxy)pyrimidine.

[0166] 4-Chloro-6-(3-chloro-2,2-dimethylpropyloxy)pyrimidine

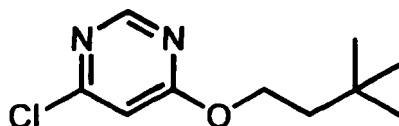


[0167] $^1\text{H-NMR}$: 1.11 (s, 6H), 3.51 (s, 2H), 4.23 (s, 2H), 6.81 (s, 1H), 8.57 (s, 1H).

Reference Production Example 7

[0168] In 4 ml of tetrahydrofuran was suspended 0.11 g of sodium hydride (60% in oil), to which 0.5 ml of a solution containing 0.23 g of 3,3-dimethyl-1-butanol was added dropwise at 0°C , followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of tetrahydrofuran containing 0.3 g of 4,6-dichloropyrimidine dissolved therein, followed by stirring at the same temperature for 4 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with *t*-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.41 g of 4-chloro-6-(3,3-dimethylbutyloxy)pyrimidine.

[0169] 4-Chloro-6-(3,3-dimethylbutyloxy)pyrimidine

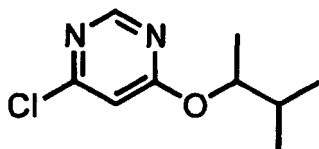


[0170] $^1\text{H-NMR}$: 0.99 (s, 9H), 1.70 (t, 2H), 4.44 (t, 2H), 6.73 (s, 1H), 8.57 (s, 1H).

Reference Production Example 8

[0171] In 4 ml of tetrahydrofuran was suspended 0.10 g of sodium hydride (60% in oil), to which 0.5 ml of a solution containing 0.18 g of 3-methyl-2-butanol was added dropwise at 0°C , followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of tetrahydrofuran containing 0.3 g of 4,6-dichloropyrimidine dissolved therein, followed by stirring at the same temperature for 4 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with *t*-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.35 g of 4-chloro-6-(1,2-dimethylpropyloxy)pyrimidine.

[0172] 4-Chloro-6-(1,2-dimethylpropyloxy)pyrimidine



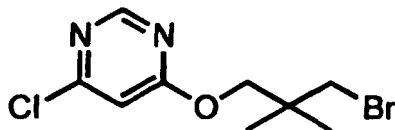
[0173] $^1\text{H-NMR}$: 0.95 (d, 3H), 0.97 (d, 3H), 1.27 (d, 3H), 1.78-2.14 (m, 1H), 5.10-5.16 (m, 1H), 6.73 (s, 1H), 8.54 (s, 1H).

Reference Production Example 9

[0174] In 4 ml of tetrahydrofuran was suspended 0.10 g of sodium hydride (60% in oil), to which 0.5 ml of a solution containing 0.34 g of 3-bromo-2,2-dimethyl-1-propanol was added dropwise at 0°C , followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of tetrahydrofuran containing 0.3 g of 4,6-dichloropyrimidine dissolved therein, followed by stirring at the same temperature for 4 hours. The reaction mixture was then poured into a saturated aqueous

ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.56 g of 4-chloro-6-(3-bromo-2,2-dimethylpropyloxy)pyrimidine.

[0175] 4-Chloro-6-(3-bromo-2,2-dimethylpropyloxy)pyrimidine

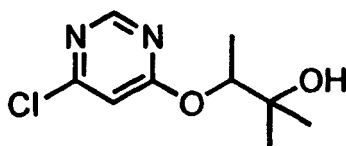


[0176] $^1\text{H-NMR}$: 1.11 (s, 6H), 3.51 (s, 2H), 4.23 (s, 2H), 6.81 (s, 1H), 8.57 (s, 1H).

Reference Production Example 10

[0177] In 8 ml of tetrahydrofuran was suspended 0.41 g of sodium hydride (60% in oil), to which 0.6 ml of a solution containing 0.49 g of 2-methylbutane-2,3-diol was added dropwise at 0°C , followed by stirring for 10 minutes. To this was added dropwise 0.6 ml of tetrahydrofuran containing 0.69 g of 4,6-dichloropyrimidine dissolved therein, followed by stirring at the same temperature for 4.5 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.74 g of 4-chloro-6-(2-hydroxy-1,2-dimethylpropyloxy)pyrimidine.

[0178] 4-Chloro-6-(2-hydroxy-1,2-dimethylpropyloxy)pyrimidine

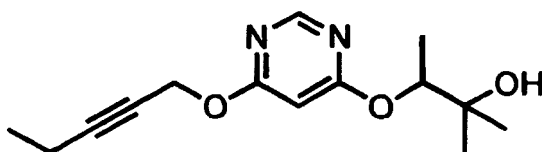


[0179] $^1\text{H-NMR}$: 1.27 (s, 3H), 1.28 (s, 3H), 1.33 (d, 3H), 1.97 (s, 1H), 5.22 (q, 1H), 6.79 (s, 1H), 8.56 (s, 1H).

Reference Production Example 11

[0180] In 3 ml of tetrahydrofuran was suspended 0.17 g of sodium hydride (60% in oil), to which 0.4 ml of a solution containing 0.17 g of 2-pentyn-1-ol was added dropwise at 0°C , followed by stirring for 10 minutes. To this was added dropwise 0.4 ml of tetrahydrofuran containing 0.37 g of 3-(6-chloro-4-pyrimidyloxy)-2-methyl-2-butanol dissolved therein, followed by stirring at the same temperature for 1 hour and at room temperature for 4 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.33 g of 4-(2-pentynyloxy)-6-(2-hydroxy-1,2-dimethylpropyloxy)pyrimidine.

[0181] 4-(2-Pentynyloxy)-6-(2-hydroxy-1,2-dimethylpropyloxy)pyrimidine



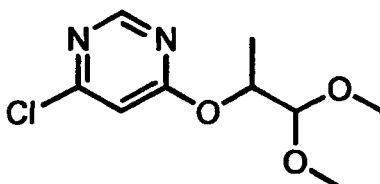
[0182] $^1\text{H-NMR}$: 1.15 (t, 3H), 1.17 (s, 3H), 1.27 (s, 3H), 1.31 (d, 3H), 2.24 (qt, 2H), 4.97 (t, 2H), 5.11 (q, 1H), 6.12 (s,

1H), 8.42 (s, 1H).

Reference Production Example 12

[0183] In 5 ml of tetrahydrofuran was suspended 0.13 g of sodium hydride (60% in oil), to which 5.4 ml of a 0.5 mol/l solution containing 1,1-dimethoxy-2-propanol in tetrahydrofuran was added dropwise at 0°C, followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of tetrahydrofuran containing 0.4 g of 4,6-dichloropyrimidine dissolved therein, followed by stirring at 0°C for 4 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.16 g of 4-chloro-6-(2,2-dimethoxy-1-methylethoxy)pyrimidine.

[0184] 4-Chloro-6-(2,2-dimethoxy-1-methylethoxy)pyrimidine

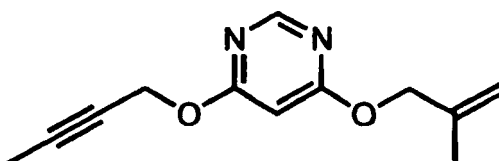


[0185] ¹H-NMR: 1.34 (d, 3H), 3.42 (s, 3H), 3.45 (s, 3H), 4.40 (d, 1H), 5.42 (dt, 1H), 6.78 (s, 1H), 8.56 (s, 1H).

Reference Production Example 13

[0186] In 4 ml of tetrahydrofuran was suspended 0.11 g of sodium hydride (60% in oil), to which 0.5 ml of a solution containing 0.16 g of 2-methyl-2-propenol in tetrahydrofuran was added dropwise at 0°C, followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of a solution containing 0.4 g of 4-(2-butynyloxy)-6-chloropyrimidine in tetrahydrofuran, followed by stirring at the same temperature for 2 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.15 g of 4-(2-butynyloxy)-6-(2-methylallyloxy)pyrimidine.

[0187] 4-(2-Butynyloxy)-6-(2-methylallyloxy)pyrimidine

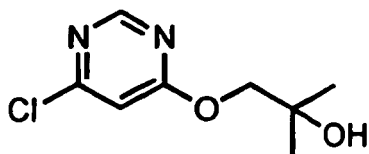


¹H-NMR: 1.81 (s, 3H), 1.87 (t, 3H), 4.77 (s, 2H), 4.94-4.97 (m, 3H), 5.04 (s, 1H), 6.14 (s, 1H), 8.44 (s, 1H).

Reference Production Example 14

[0188] In 20 ml of tetrahydrofuran was dissolved 1 g of 1-hydroxy-2-propanone, to which 26 ml of a 1.14 mol/l solution (diethyl ether solution) of methyl lithium was added dropwise at -78°C. The mixture was stirred at the same temperature for 1 hour. After gradually increasing the temperature up to 0°C, 4 ml of a solution containing 2 g of 4,6-dichloropyrimidine in tetrahydrofuran was added dropwise, followed by further stirring 2 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with ethyl acetate. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.66 g of 4-chloro-6-(2-hydroxy-2-methylpropyloxy)pyrimidine.

[0189] 4-Chloro-6-(2-hydroxy-2-methylpropyloxy)pyrimidine

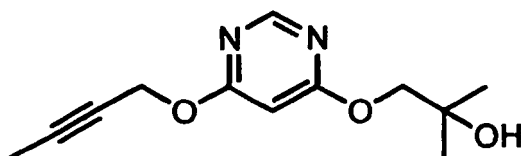


[0190] $^1\text{H-NMR}$: 1.32 (s, 6H), 2.26 (bs, 1H), 4.28 (s, 2H), 6.85 (s, 1H), 8.57 (s, 1H).

Reference Production Example 15

[0191] In 6 ml of tetrahydrofuran was suspended 0.29 g of sodium hydride (60% in oil), to which 0.4 ml of a solution containing 0.25 g of 2-butyne-1-ol in tetrahydrofuran was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.4 ml of a solution containing 0.66 g of 1-(6-chloropyrimidin-4-yloxy)-2-methyl-2-propanol in tetrahydrofuran at 0°C, followed by increasing the temperature up to room temperature and stirring for 5 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with ethyl acetate. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.33 g of 4-(2-butynyloxy)-6-(2-hydroxy-2-methylpropyloxy)pyrimidine.

[0192] 4-(2-Butynyloxy)-6-(2-hydroxy-2-methylpropyloxy)pyrimidine

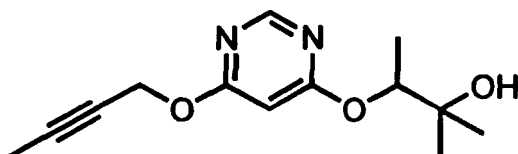


[0193] $^1\text{H-NMR}$: 1.31 (s, 6H), 1.87 (t, 3H), 3.02 (bs, 1H), 4.22 (s, 2H), 4.96 (q, 2H), 6.16 (s, 1H), 8.43 (s, 1H).

Reference Production Example 16

[0194] In 5 ml of tetrahydrofuran was suspended 0.24 g of sodium hydride (60% in oil), to which 0.4 ml of a solution containing 0.26 g of 2-methylbutan-2,3-diol in tetrahydrofuran was added dropwise at 0°C, followed by stirring for 10 minutes. To this was added dropwise 0.4 ml of a solution containing 0.38 g of 4,6-dichloropyrimidin in tetrahydrofuran, followed by stirring at 0°C for 4 hours. To this was added dropwise 0.4 ml of a solution containing 0.20 g of 2-butyne-1-ol and further added 0.12 g of sodium hydride (60% in oil), followed by stirring at room temperature for 3.5 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.41 g of 4-(2-butynyloxy)-6-(2-hydroxy-1,2-dimethylpropyloxy)pyrimidine.

[0195] 4-(2-Butynyloxy)-6-(2-hydroxy-1,2-dimethylpropyloxy)pyrimidine

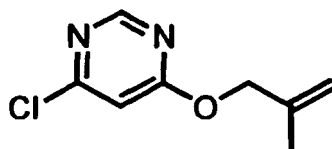


[0196] $^1\text{H-NMR}$: 1.26 (s, 6H), 1.30 (d, 3H), 1.87 (t, 3H), 2.45 (bs, 1H), 4.95 (q, 2H), 5.11 (q, 1H), 6.11 (s, 1H), 8.42 (s, 1H).

Reference Production Example 17

[0197] In 10 ml of tetrahydrofuran was suspended 0.322 g of sodium hydride (60% in oil), to which 0.5 ml of a solution containing 0.16 g of 2-methyl-2-propenol in tetrahydrofuran was added dropwise at 0°C, followed by stirring for 10 minutes. To this was added dropwise 0.5 ml of a solution containing 1 g of 4,6-dichloropyrimidine in tetrahydrofuran, followed by stirring at the same temperature for 2 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 1.27 g of 4-chloro-6-(2-methylallyloxy)pyrimidine.

[0198] 4-Chloro-6-(2-methylallyloxy)pyrimidine

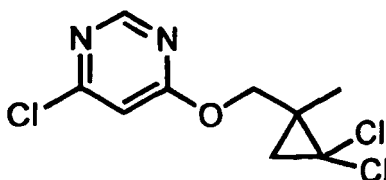


[0199] ¹H-NMR: 1.82 (s, 3H), 4.82 (s, 2H), 4.99 (s, 1H), 5.05 (s, 1H), 6.81 (s, 1H), 8.57 (s, 1H).

Reference Production Example 18

[0200] In 6.5 ml of chloroform was dissolved 0.6 g of 4-chloro-6-(2-methylallyloxy)pyrimidine, to which 0.02 g of benzyltriethylammonium chloride was added at 0°C and 3.25 ml of a 50% sodium hydroxide solution was further added dropwise at the same temperature, followed by stirring at room temperature for 14 hours. The reaction mixture was then poured into water, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.23 g of 4-chloro-6-[(2,2-dichloro-1-methylcyclopropyl)methoxy]pyrimidine.

[0201] 4-Chloro-6-[(2,2-dichloro-1-methylcyclopropyl)methoxy]pyrimidine

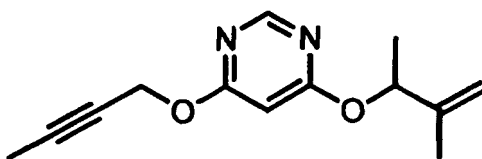


[0202] ¹H-NMR: 1.39 (d, 1H), 1.51 (s, 3H), 1.57 (d, 1H), 4.38 (d, 1H), 4.65 (d, 1H), 6.88 (s, 1H), 8.57 (s, 1H).

Reference Production Example 19

[0203] In 3 ml of chloroform was dissolved 0.42 g of 3-[6-(2-butynyloxy)pyrimidin-4-yloxy]-2-methyl-2-butanol, to which 0.2 g of pyridine was added and 0.15 ml of thionyl chloride was added dropwise at -13°C, followed by stirring 2 hours. The reaction mixture was then poured into water, which was extracted three times with chloroform. The combined organic layers were washed with a saturated aqueous sodium hydrogencarbonate, a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.23 g of 4-(2-butynyloxy)-6-(1,2-dimethylallyloxy)pyrimidine.

[0204] 4-(2-Butynyloxy)-6-(1,2-dimethylallyloxy)pyrimidine

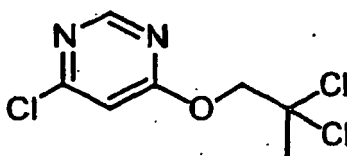


[0205] $^1\text{H-NMR}$: 1.42 (d, 3H), 1.78 (s, 3H), 1.87 (t, 3H), 4.86 (s, 1H), 4.95 (q, 2H), 5.01 (s, 1H), 5.55 (q, 1H), 6.12 (s, 1H), 8.42 (s, 1H).

Reference Production Example 20

[0206] First, 0.23 g of 4,6-dichloropyrimidine and 0.2 g of 2,2-dichloro-1-propanol were dissolved in 2 ml of tetrahydrofuran, to which 0.07 g of sodium hydride (60% in oil) was added at 0°C, followed by stirring at the same temperature for 2 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel chromatography to give 0.18 g of 4-chloro-6-(2,2-dichloropropoxy)pyrimidine.

[0207] 4-Chloro-6-(2,2-dichloropropoxy)pyrimidine

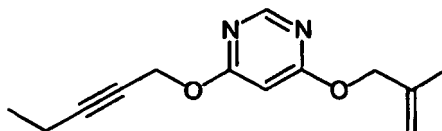


[0208] $^1\text{H-NMR}$: 2.21 (s, 3H), 4.80 (s, 2H), 6.93 (s, 1H), 8.60 (s, 1H).

Reference Production Example 21

[0209] In 10 ml of tetrahydrofuran was suspended 0.19 g of sodium hydride (60% in oil), to which 0.5 ml of a solution containing 0.57 g of 2-pentyn-1-ol in tetrahydrofuran was added dropwise at room temperature, followed by stirring for 10 minutes. To this was added dropwise 0.4 ml of a solution containing 0.3 g of 4,6-dichloropyrimidine in tetrahydrofuran at 0°C, followed by stirring for 1 hour. To this was added dropwise 0.5 ml of a solution containing 0.48 g of 2-methyl-2-propen-1-ol in tetrahydrofuran at the same temperature and further added 0.19 g of sodium hydride (60% in oil), followed by stirring at 2 hours. The reaction mixture was then poured into a saturated aqueous ammonium chloride solution, which was extracted three times with t-butyl methyl ether. The combined organic layers were washed with a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.64 g of 4-(2-methyl-2-propenyloxy)-6-(2-pentynyloxy)pyrimidine.

[0210] 4-(2-Methyl-2-propenyloxy)-6-(2-pentynyloxy)pyrimidine



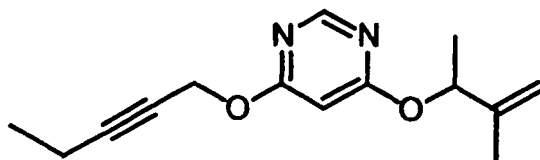
[0211] $^1\text{H-NMR}$: 1.15 (t, 3H), 1.81 (s, 3H), 2.25 (qt, 2H), 4.77 (s, 2H), 4.96-4.98 (m, 3H), 5.04 (s, 1H), 6.15 (s, 1H), 8.44 (s, 1H).

Reference Production Example 22

[0212] In 3 ml of chloroform was dissolved 0.5 g of 4-(2-pentynyloxy)-6-(2-hydroxy-1,2-dimethylpropyloxy)pyrimidine,

to which 0.18 g of pyridine was added and 0.27 g of thionyl chloride was added dropwise at -13°C, followed by stirring for 1.5 hours. The reaction mixture was then poured into water, which was extracted three times with chloroform. The combined organic layers were washed with a saturated aqueous sodium hydrogencarbonate solution, a saturated aqueous sodium chloride solution, dried over anhydrous magnesium sulfate, and then concentrated. The residue was subjected to silica gel column chromatography to give 0.3 g of 4-(2-pentynyloxy)-6-(1,2-dimethyl-2-propenyloxy)pyrimidine.

[0213] 4-(2-Pentynyloxy)-6-(1,2-dimethyl-2-propenyloxy)pyrimidine



[0214] ¹H-NMR: 1.15 (t, 3H), 1.43 (d, 3H), 1.78 (s, 3H), 2.24 (qt, 2H), 4.87 (s, 1H), 4.97 (t, 2H), 5.00 (s, 1H), 5.54 (q, 1H), 6.13 (s, 1H), 8.42 (s, 1H).

[0215] The following will describe some formulation examples wherein parts represent parts by weight. The present compounds are designated by their compound numbers shown in Tables 1 and 2.

Formulation Example 1 Emulsifiable concentrate

[0216] Nine parts of each of the present compounds (1) to (40) is dissolved in 37.5 parts of xylene and 37.5 parts of dimethylformamide, and 10 parts of polyoxyethylene styryl phenyl ether and 6 parts of calcium dodecylbenzenesulfonate are added thereto, followed by well stirring and mixing, to give an emulsifiable concentrate for each compound.

Formulation Example 2 Wettable powder

[0217] Nine parts of each of the present compounds (1) to (40) is added to a mixture containing 4 parts of sodium laurylsulfate, 2 parts of calcium lignin sulfonate, 20 parts of synthetic hydrated silicone oxide fine powder, and 65 parts of diatomaceous earth, followed by well stirring and mixing, to give a wettable powder for each compound.

Formulation Example 3 Granule

[0218] To 3 parts of each of the present compounds (1) to (40) are added 5 parts of synthetic hydrated silicon oxide fine powder, 5 parts of sodium dodecylbenzenesulfonate, 30 parts of bentonite, and 57 parts of clay, followed by well stirring and mixing, and an appropriate amount of water is added to this mixture, followed by further stirring, granulation with a granulator, and air drying, to give a granule for each compound.

Formulation Example 4 Dust

[0219] First, 4.5 parts of each of the present compounds (1) to (40), 1 part of synthetic hydrated silicon oxide fine powder, 1 part of Doriresu B (Sankyo Co., Ltd.) as a flocculant, and 7 parts of clay are well mixed with a mortar, followed by stirring and mixing with a mixer. To the resulting mixture is added 86.5 parts of cut clay, followed by well stirring and mixing, to give a dust for each compound.

Formulation Example 5

[0220] Ten parts of each of the present compounds (1) to (40), 35 parts of white carbon containing 50 parts of polyoxyethylene alkyl ether sulfate ammonium salt, and 55 parts of water are mixed and pulverized by the wet grinding method to give a formulation for each compound.

[0221] The following test example will demonstrate that the present compounds have excellent pesticidal activity.

Test Example 1

[0222] Ten parts of each of the present compounds (1) to (6), (8) to (23), (30), (31), and (34) to (40); white carbon containing 50 parts of polyoxyethylene alkyl ether sulfate ammonium salt; and 55 parts of water were mixed and pulverized by the wet grinding method to give a formulation for each compound. The formulation of each present compound was

diluted with water so that the active ingredient concentration came to 500 ppm to prepare a spray solution.

[0223] A polyethylene cup was seeded with cucumber and a plant was grown until the first true leaf was developed, on which about twenty *Aphis gossypii* (cotton aphid) are allowed to be parasitic. On the next day, the above spray solution was applied at a ratio of 20 ml/cup to the cucumber plant. On the sixth day after the application, the number of *Aphis gossypii* was examined and the preventive value was determined by the following equation:

$$\text{Preventive value (\%)} = \{ 1 - (C_b \times T_{ai}) / (C_{ai} \times T_b) \} \times 100$$

where the variables in the equation have the following meanings:

C_b: the number of pests before treatment in an untreated area

C_{ai}: the number of pests when observed in the untreated area

T_b: the number of pests before treatment in a treated area

T_{ai}: the number of pests when observed in the treated area.

[0224] As a result, all the present compounds (1) to (6), (8) to (23), (30), (31), and (34) to (40) exhibited the preventive value of 90% or higher.

Test Example 2

[0225] Ten parts of each of the present compounds (2), (4), (6), (7), (8), (11), (12), (14), (16), (17), (19) to (24), (26), (28), (29), (34), (36) to (38), and (40); white carbon containing 50 parts of polyoxyethylene alkyl ether sulfate ammonium salt; and 55 parts of water were mixed and pulverized by the wet grinding method to give a formulation for each compound. The formulation of each present compound was diluted with water so that the active ingredient concentration came to 500 ppm to prepare a spray solution.

[0226] A polyethylene cup was seeded with cucumber and a plant was grown until the first true leaf was developed, to which the above spray solution was applied at a ratio of 20 ml/cup. After the chemical solution sprayed to the cucumber was dried, the first true leaf was cut off and placed on a filter paper (70 mm in diameter) impregnated with water in a polyethylene cup (110 mm in diameter). Thirty larvae of *Frankliniella occidentalis* (Western flower thrips) were set free on the first true leaf, which was covered with a polyethylene cup. After seven days, the number of surviving pests was examined.

[0227] As a result, the number of surviving pests was 0 on the leaves treated with each of the present compounds (2), (4), (6), (7), (8), (11), (12), (14), (16), (17), (19) to (24), (26), (28), (29), (34), (36) to (38), and (40).

Test Example 3

[0228] Ten parts of each of the present compounds (2) to (8), (10) to (32) and (34) to (40); white carbon containing 50 parts of polyoxyethylene alkyl ether sulfate ammonium salt; and 55 parts of water were mixed and pulverized by the wet grinding method to give a formulation for each compound. The formulation of each present compound was diluted with water so that the active ingredient concentration came to 500 ppm to prepare a spray solution.

[0229] A polyethylene cup was seeded with cabbage and a plant was grown until the first true leaf was developed. The first true leaf was left, while the other leaves were cut off. Adults of *Bemisia argentifolii* (silverleaf whitefly) were set free on the first true leaf and allowed to lay eggs for about 24 hours. The cabbage leaf with about 80 to 100 eggs thus laid thereon was kept in a greenhouse. On eighth day after, when greater part of the larvae were hatching from the laid eggs, the above spray solution was applied at a ratio of 20 ml/cup to the cabbage plant. On the seventh day after the application, the number of surviving larvae was counted.

[0230] As a result, the number of surviving larvae was not greater than 10 on the cabbage leaves treated with each of the present compounds (2) to (8), (10) to (32) and (34) to (40).

Test Example 4

[0231] Ten parts of each of the present compounds (1) to (23) and (25) to (40); white carbon containing 50 parts of polyoxyethylene alkyl ether sulfate ammonium salt; and 55 parts of water were mixed and pulverized by the wet grinding method to give a formulation for each compound. The formulation of each present compound obtained according to Formulation Example 5 was diluted so that the active ingredient concentration came to 500 ppm to prepare a spray

solution.

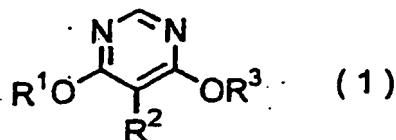
[0232] Fifty grams of molding Bonsoru 2 (available from Sumitomo Chemical Co., Ltd.) was put into a polyethylene cup, and 10 to 15 seeds of rice were planted in the polyethylene cup. Then rice plants were grown until the second foliage leaves developed and then cut into the same height of 5 cm. The above spray solution was applied at the rate of 20 ml/cup to these rice plants. After the chemical solution sprayed onto the rice plants were dried, thirty first-instar larvae of *Nilaparvata lugens* (brown planthopper) were set free on the rice plants, which was then left in a greenhouse at 25°C. On the sixth day after the release of larvae of *Nilaparvata lugens*, the number of parasitic *Nilaparvata lugens* on the rice plants was examined.

[0233] As a result, in the treatment with each of the present compounds (1) to (23) and (25) to (40), the number of parasitic *Nilaparvata lugens* on the sixth day after the treatment was not greater than 3.

[0234] The present compounds have excellent pesticidal activity, and therefore, they are useful as the active ingredients of pesticidal compositions.

Claims

1. A pyrimidine compound of formula (1):

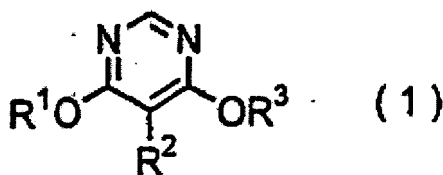


wherein R¹ is C₃-C₇ alkynyl; R² is hydrogen, halogen, or C₁-C₃ alkyl; and R³ is C₁-C₈ alkyl that may be substituted with halogen or C₁-C₃ alkoxy, or C₃-C₈ cycloalkyl- (that may be substituted with halogen or C₁-C₃ alkyl) C₁-C₃ alkyl

2. The pyrimidine compound according to claim 1, wherein R¹ is C₃-C₇ alkynyl in which the bond between the carbon atoms at positions 2 and 3 is a triple bond.
3. The pyrimidine compound according to claim 1, wherein R¹ is 2-butyryl, 2-pentyryl, 1-methyl-2-butyryl or 1-methyl-2-pentyryl.
4. The pyrimidine compound according to any one of claims 1 to 3, wherein R² is hydrogen.
5. A pesticidal composition comprising a pyrimidine compound according to claim 1 as an active ingredient
6. A method for controlling pests comprising applying a pyrimidine compound according to claim 1 to pests or habitats of pests excluding human beings and animals.

Patentansprüche

1. Pyrimidinverbindung der Formel (1):



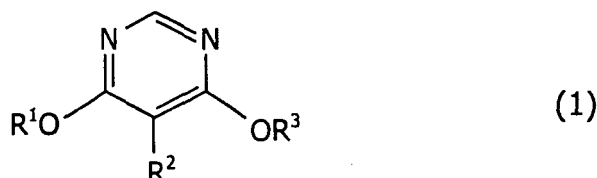
wobei R¹ C₃-C₇-Alkynyl ist; R² Wasserstoff, Halogen oder C₁-C₃-Alkyl ist; und R³ C₁-C₈-Alkyl, welches mit Halogen oder C₁-C₃-Alkoxy substituiert sein kann, oder C₃-C₈-Cycloalkyl-(welches mit Halogen oder C₁-C₃-Alkyl substituiert

sein kann)-C₁-C₃-alkyl ist.

2. Pyrimidinverbindung gemäß Anspruch 1, wobei R¹ C₃-C₇-Alkynyl ist, wobei die Bindung zwischen den Kohlenstoffatomen an den Positionen 2 und 3 eine Dreifachbindung ist.
3. Pyrimidinverbindung gemäß Anspruch 1, wobei R¹ 2-Butinyl, 2-Pentinyl, 1-Methyl-2-butinyl oder 1-Methyl-2-pentinyl ist.
4. Pyrimidinverbindung gemäß einem der Ansprüche 1 bis 3, wobei R² Wasserstoff ist.
5. Pestizidzusammensetzung, umfassend eine Pyrimidinverbindung gemäß Anspruch 1 als einen Wirkstoff.
6. Verfahren zur Schädlingsbekämpfung, umfassend das Auftragen einer Pyrimidinverbindung gemäß Anspruch 1 auf Schädlinge oder Lebensräume von Schädlingen, ausschließlich Menschen und Tiere.

Revendications

1. Composé de pyrimidine de formule (1) :



- dans laquelle R¹ est un alcynyle en C₃-C₇ ; R² est un hydrogène, un halogène ou un alkyle en C₁-C₃ ; et R³ est un alkyle en C₁-C₈ qui peut être substitué par un halogène ou un alcoxy en C₁-C₃, ou un [cycloalkyl en C₃-C₆-(lequel peut être substitué par un halogène ou un alkyle en C₁-C₃)](alkyle en C₁-C₃).
2. Composé de pyrimidine selon la revendication 1, dans lequel R¹ est un alcynyle en C₃-C₇ dans lequel la liaison entre les atomes de carbone en position 2 et 3 est une triple liaison.
 3. Composé de pyrimidine selon la revendication 1, dans lequel R¹ est un 2-butynyle, un 2-pentynyle, un 1-méthyl-2-butynyle ou un 1-méthyl-2-pentynyle.
 4. Composé de pyrimidine selon l'une quelconque des revendications 1 à 3, dans lequel R² est un hydrogène.
 5. Composition pesticide comprenant un composé de pyrimidine selon la revendication 1 comme ingrédient actif.
 6. Procédé servant à lutter contre des animaux nuisibles comprenant d'appliquer un composé de pyrimidine selon la revendication 1 sur des animaux nuisibles ou des habitats d'animaux nuisibles à part des êtres humains et des animaux.